

Figure 1

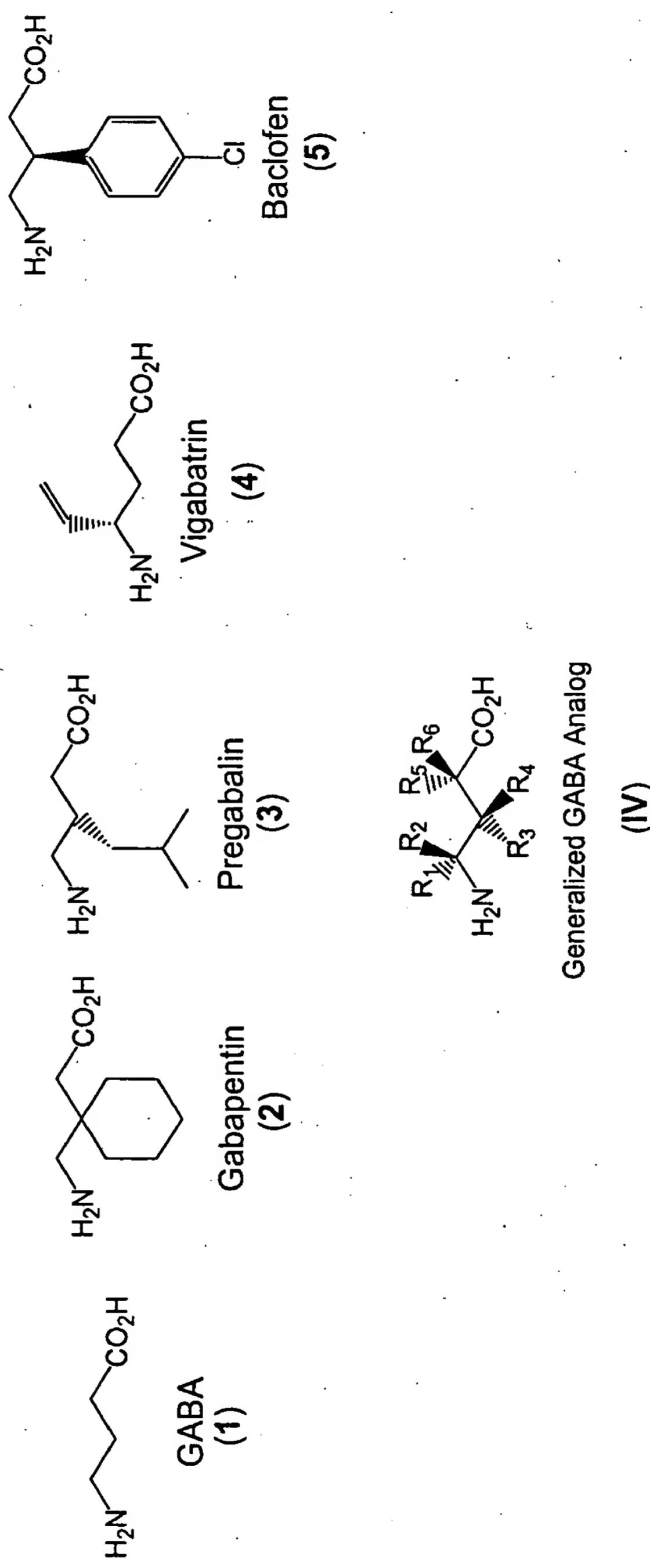


Figure 2

The Enterohepatic Circulation with Key Transporter Proteins Mediating Bile Acid Circulation

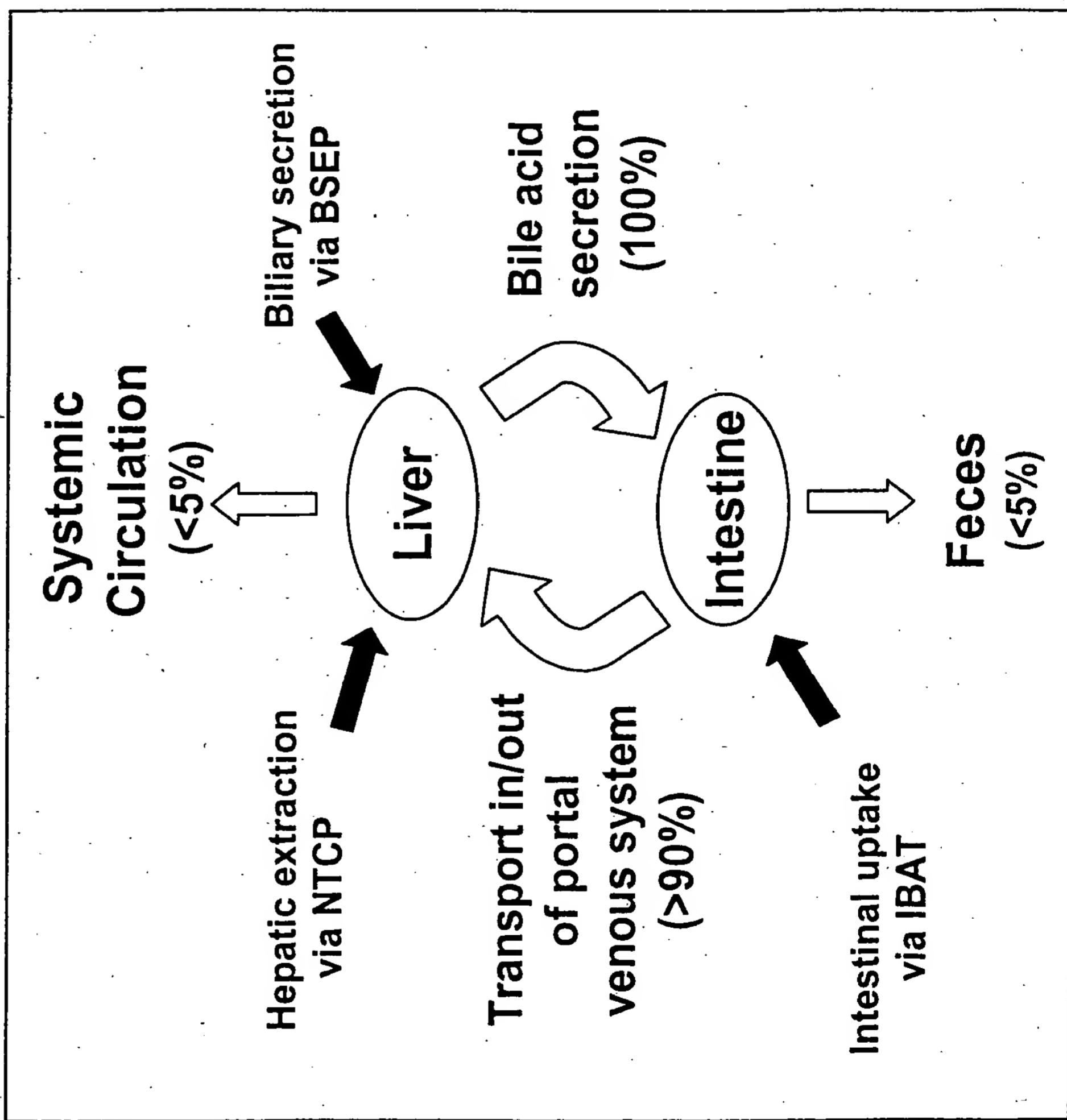
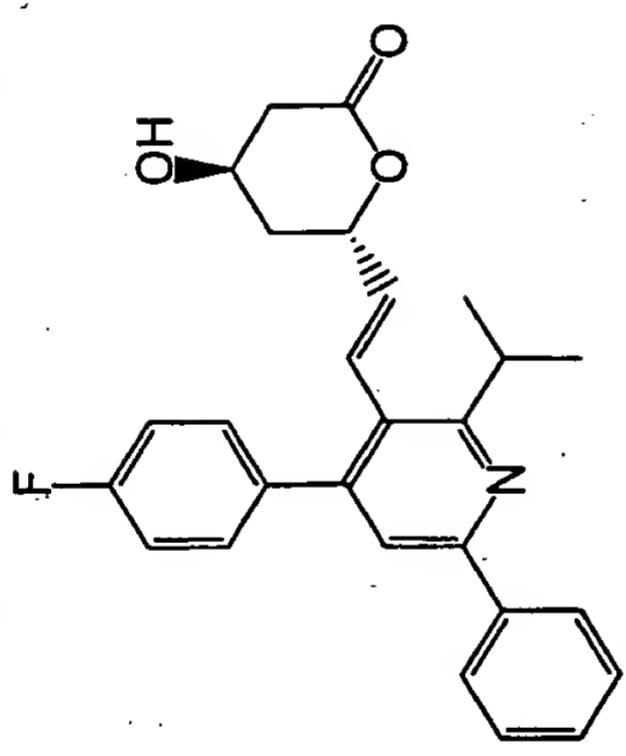
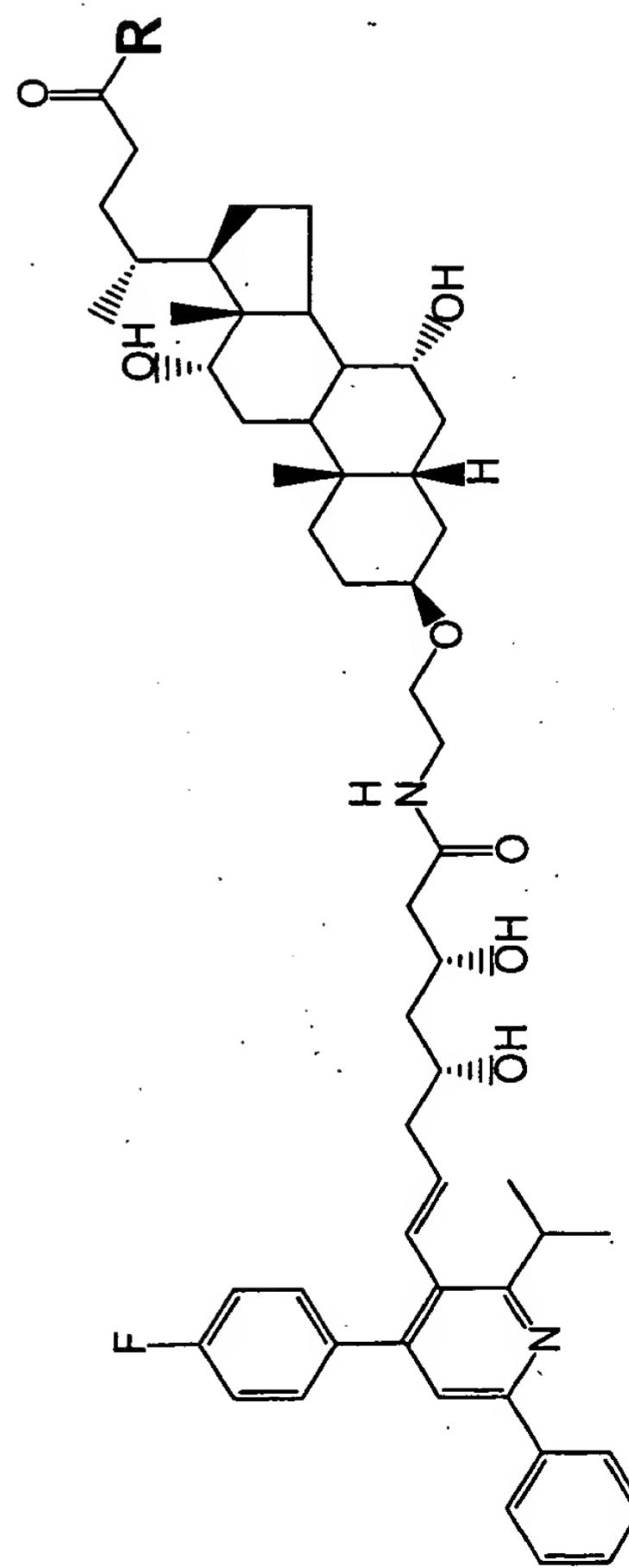


Figure 3

Bile Acid Conjugates of HMG-CoA Reductase Inhibitor



HR 780



R = OH S 3554

$R = NHCH_2CO_2H$ S 3898

$R = NHCH_2CH_2SO_3H$ S 4193

Figure 4

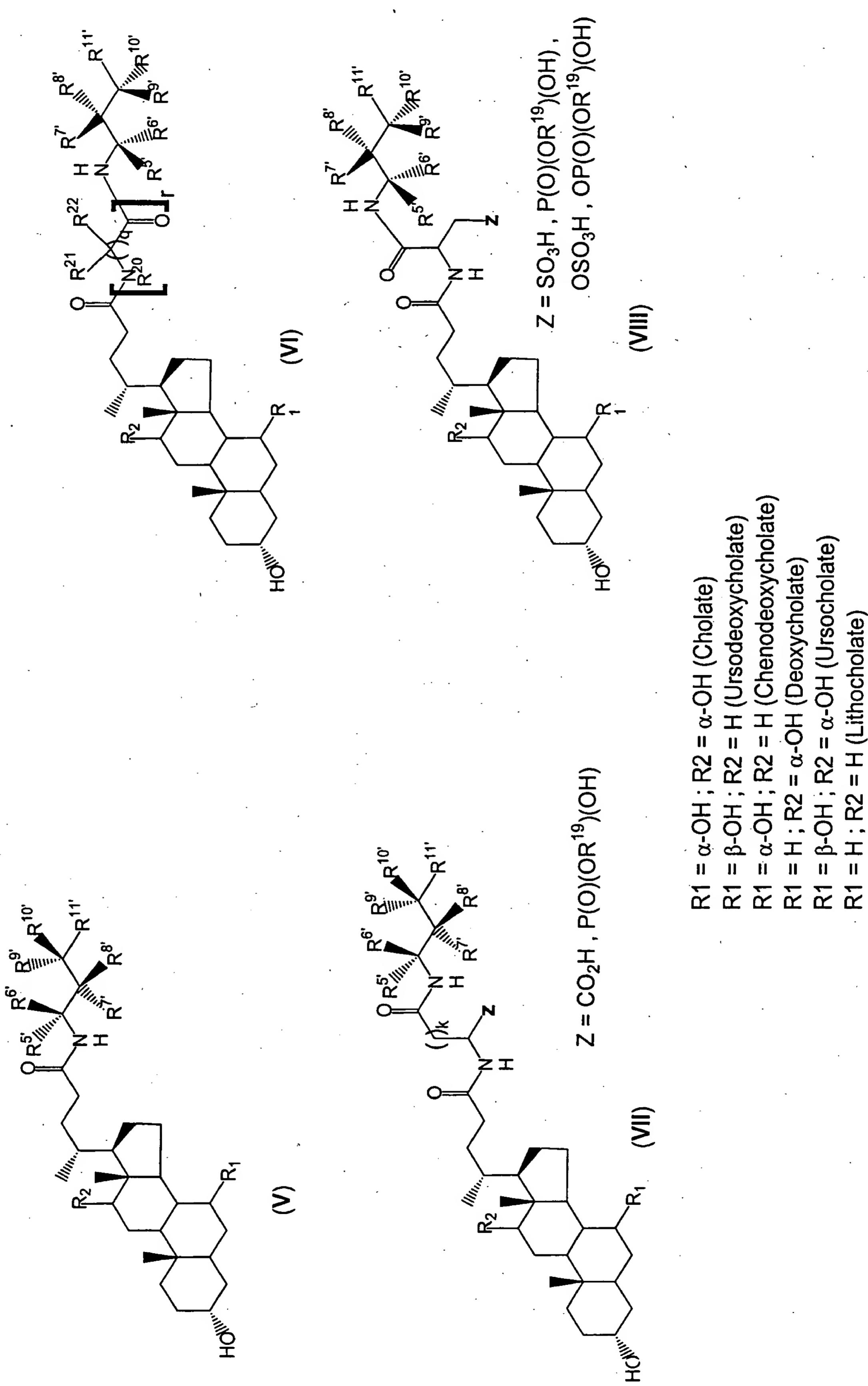
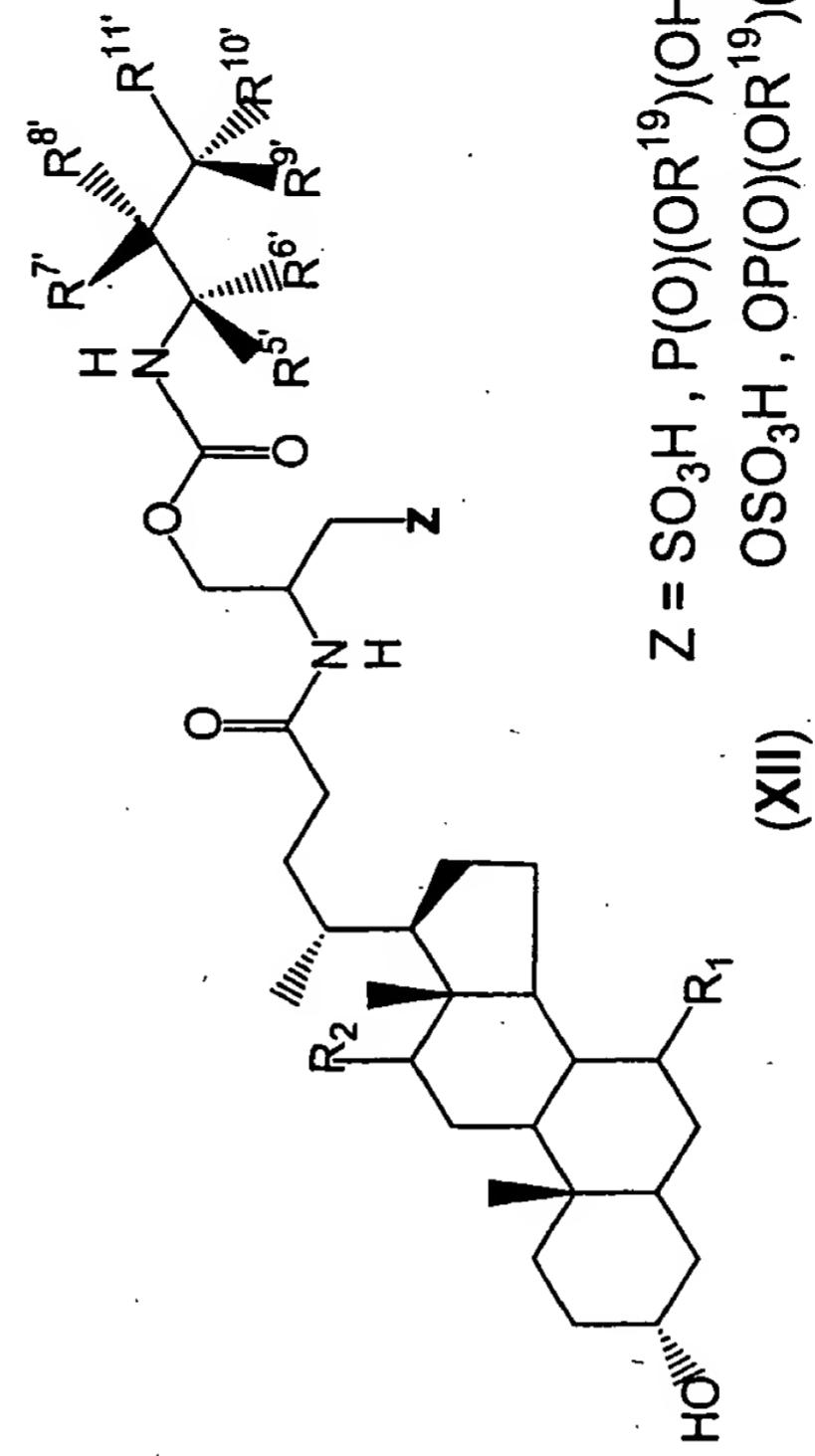
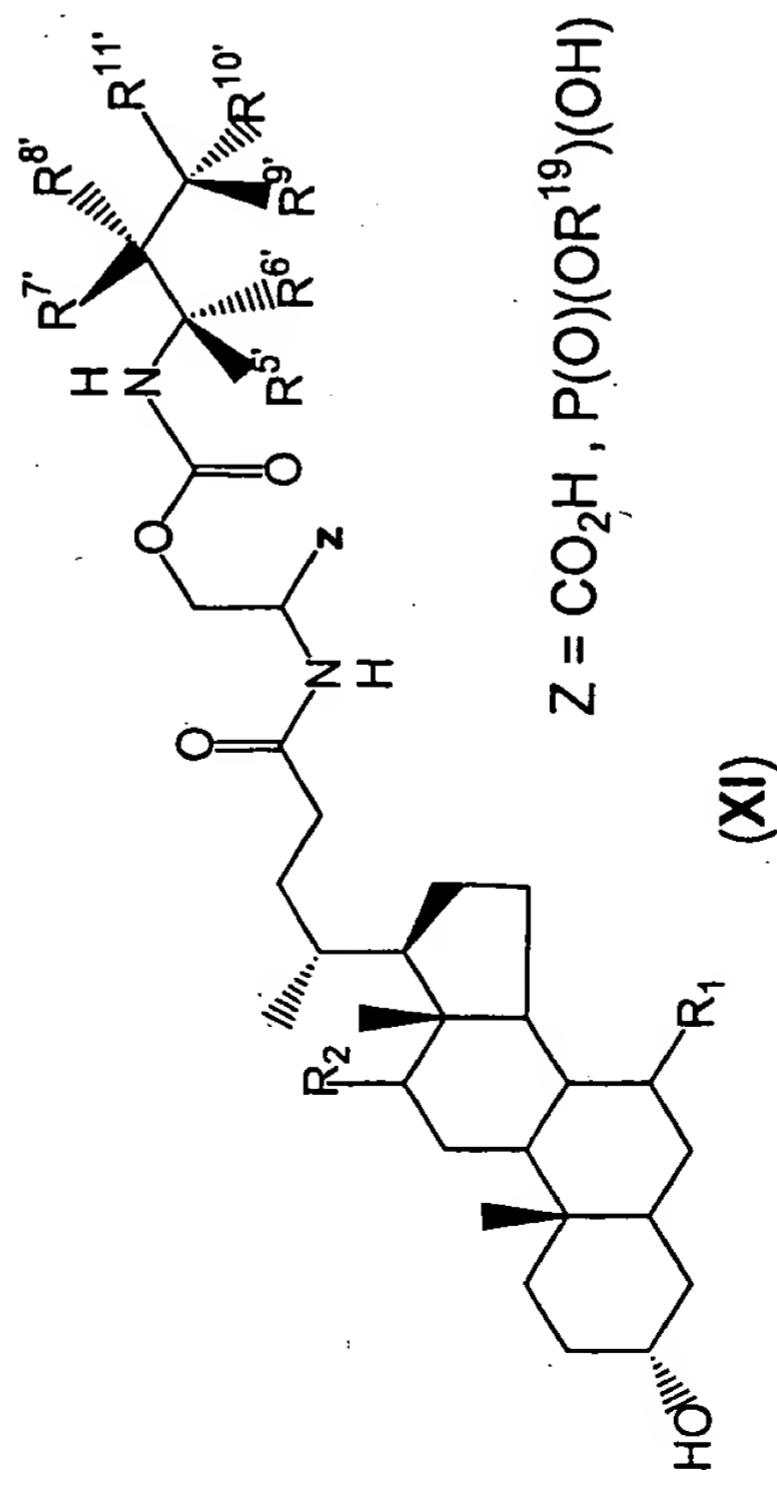
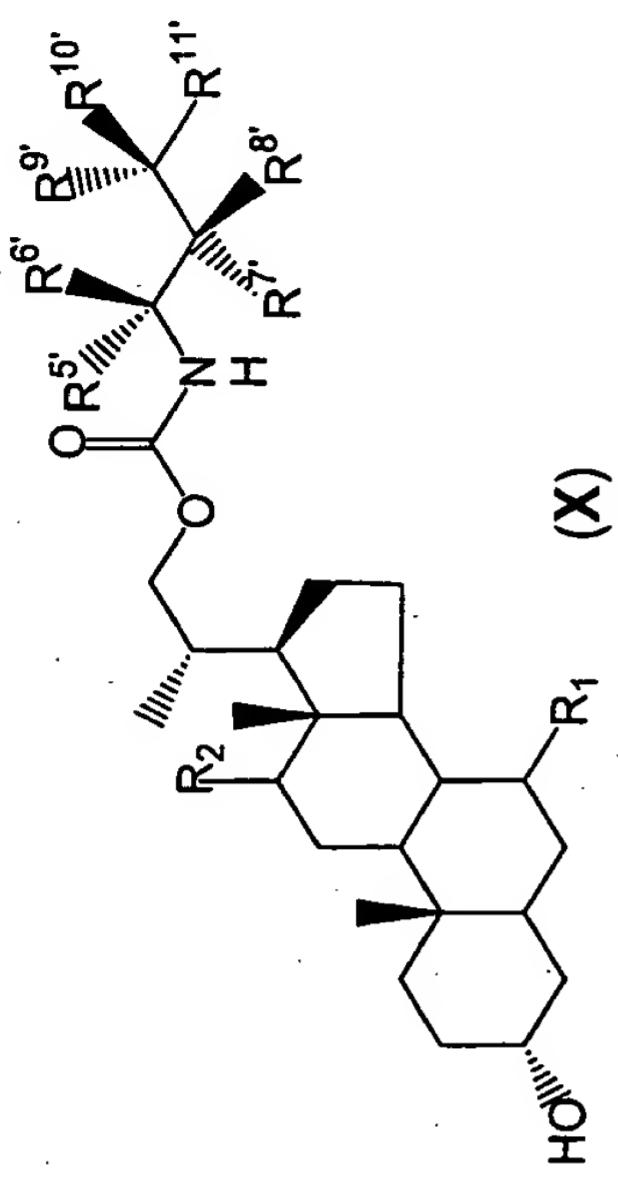
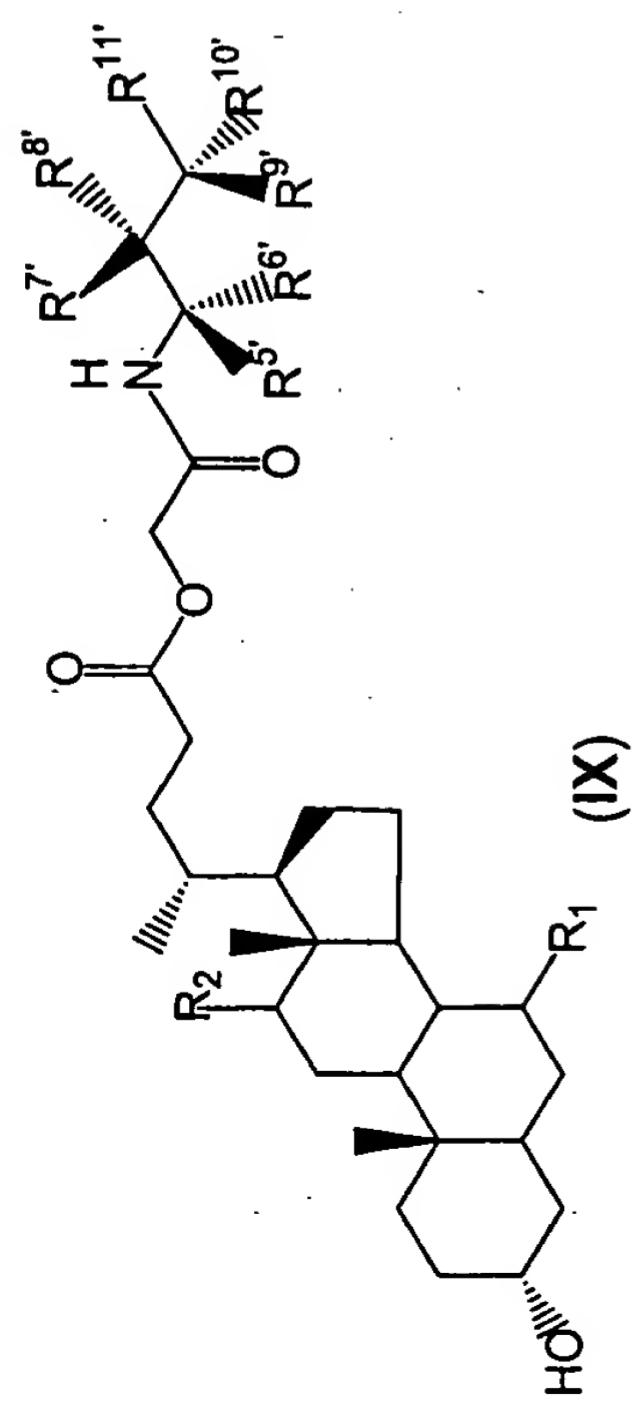


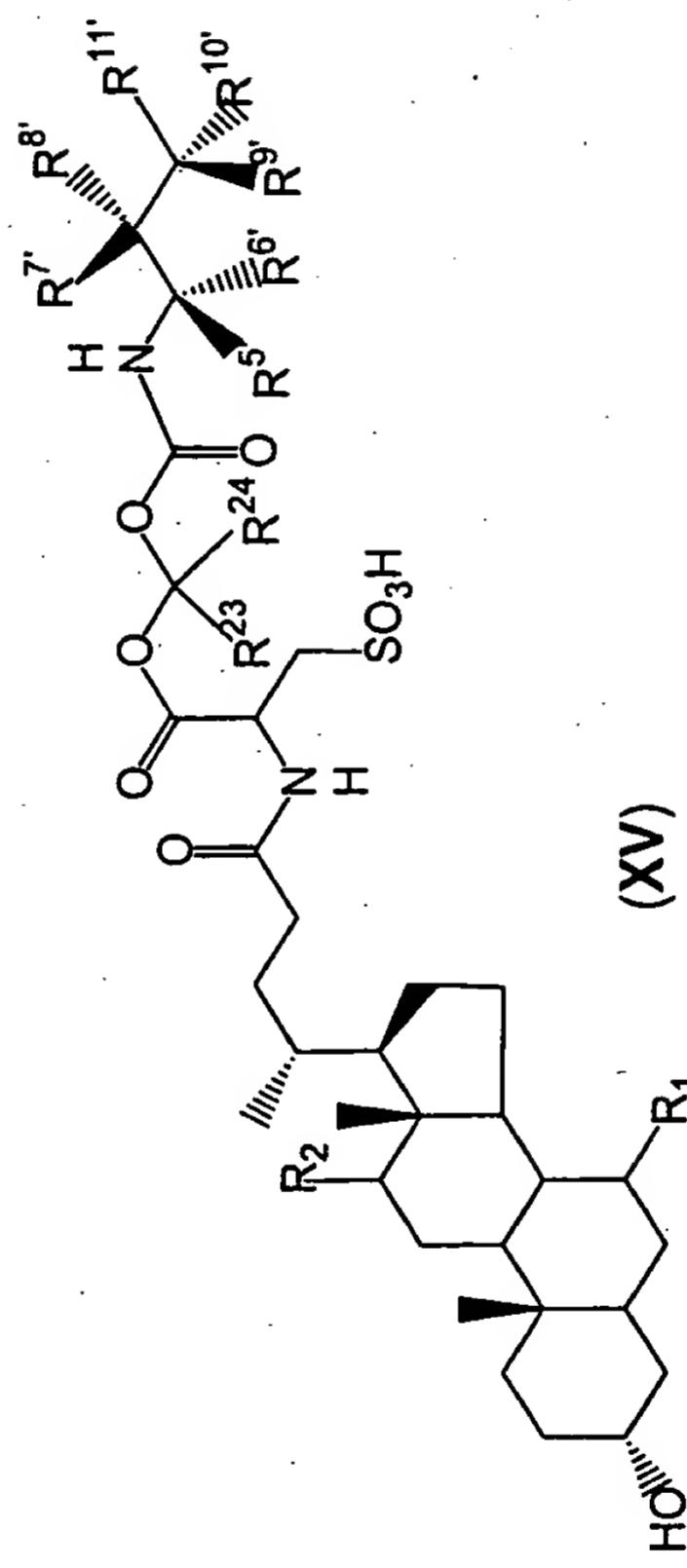
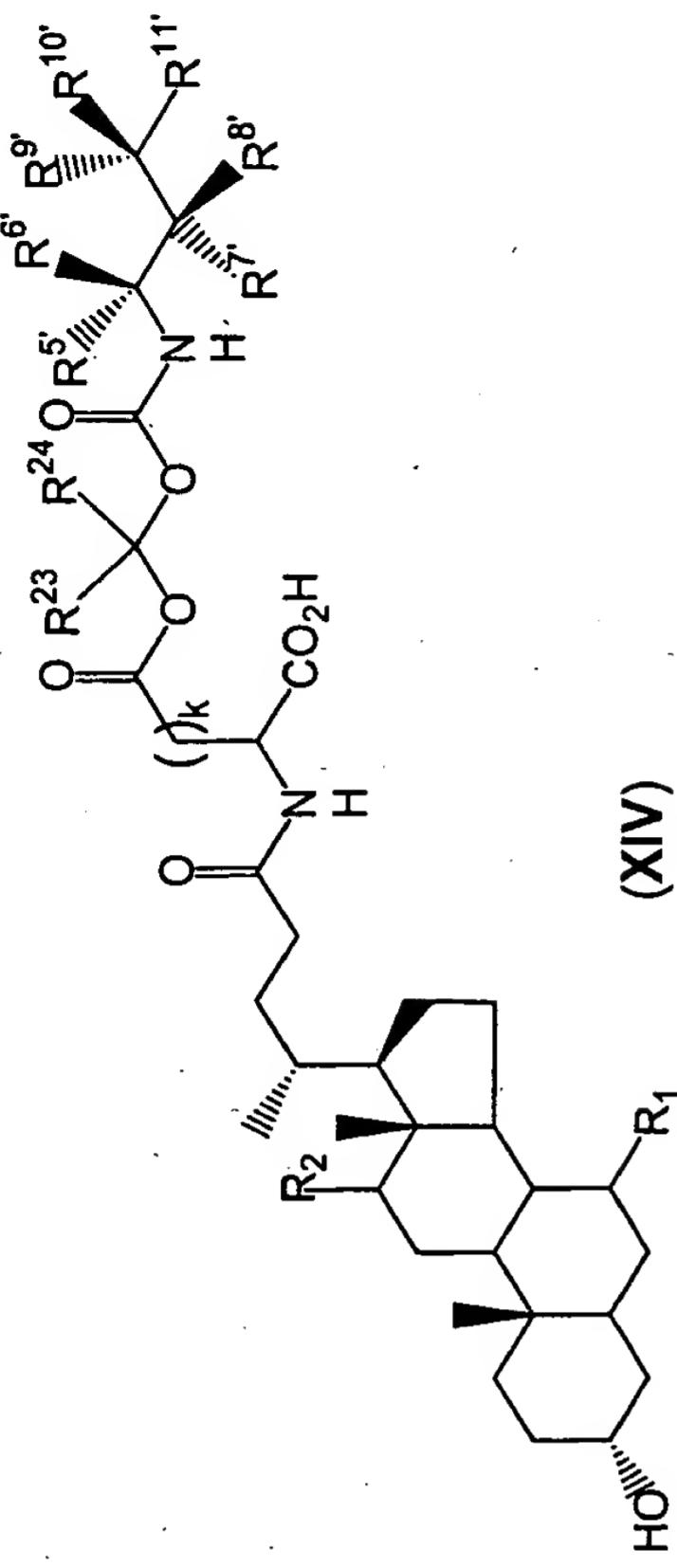
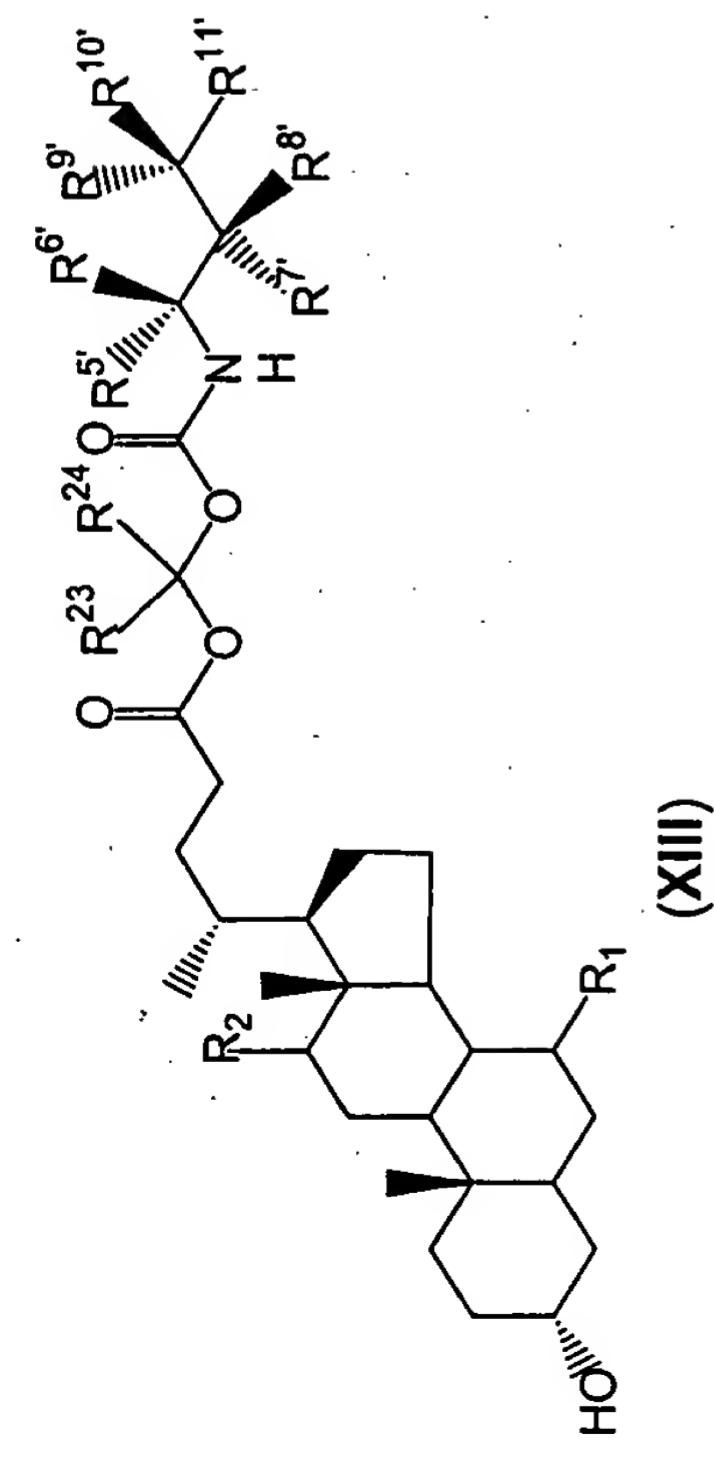
Figure 5



$Z = SO_3H, P(O)(OR^{19})(OH),$
 $OSO_3H, OP(O)(OR^{19})(OH)$

$R1 = \alpha-OH; R2 = \alpha-OH$ (Cholate)
 $R1 = \beta-OH; R2 = H$ (Ursodeoxycholate)
 $R1 = \alpha-OH; R2 = H$ (Chenodeoxycholate)
 $R1 = H; R2 = \alpha-OH$ (Deoxycholate)
 $R1 = \beta-OH; R2 = \alpha-OH$ (Ursocholate)
 $R1 = H; R2 = H$ (Lithocholate)

Figure 6



$R1 = \alpha\text{-OH}$; $R2 = \alpha\text{-OH}$ (Cholate)

$R1 = \beta\text{-OH}$; $R2 = H$ (Ursodeoxycholate)

$R1 = \alpha\text{-OH}$; $R2 = H$ (Chenodeoxycholate)

$R1 = H$; $R2 = \alpha\text{-OH}$ (Deoxycholate)

$R1 = \beta\text{-OH}$; $R2 = \alpha\text{-OH}$ (Ursodecholate)

$R1 = H$; $R2 = H$ (Lithocholate)

Figure 8

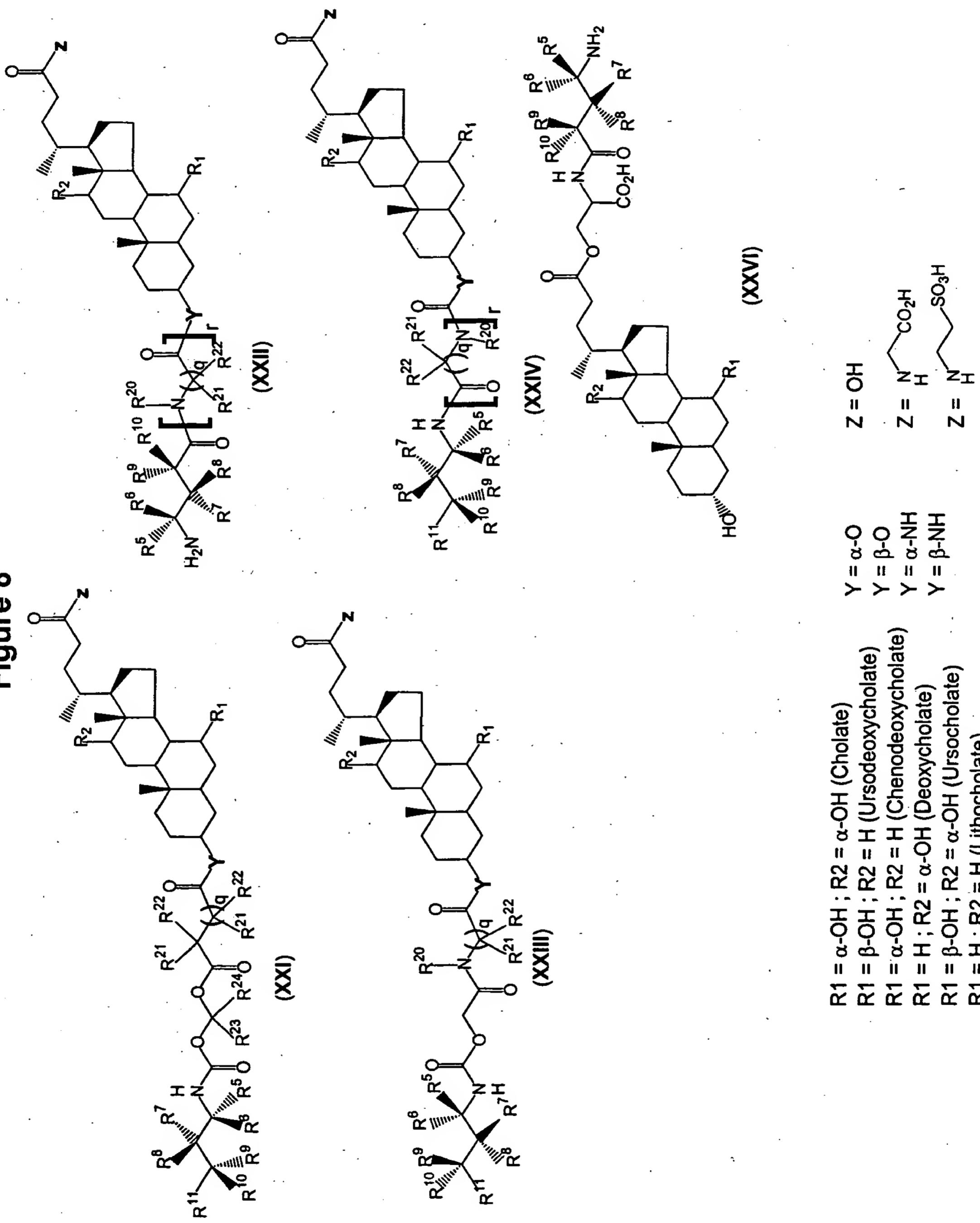


Figure 9: Uptake of (8) (XP10569) or Glycochoolate by
IBAT-Transfected CHO Cells

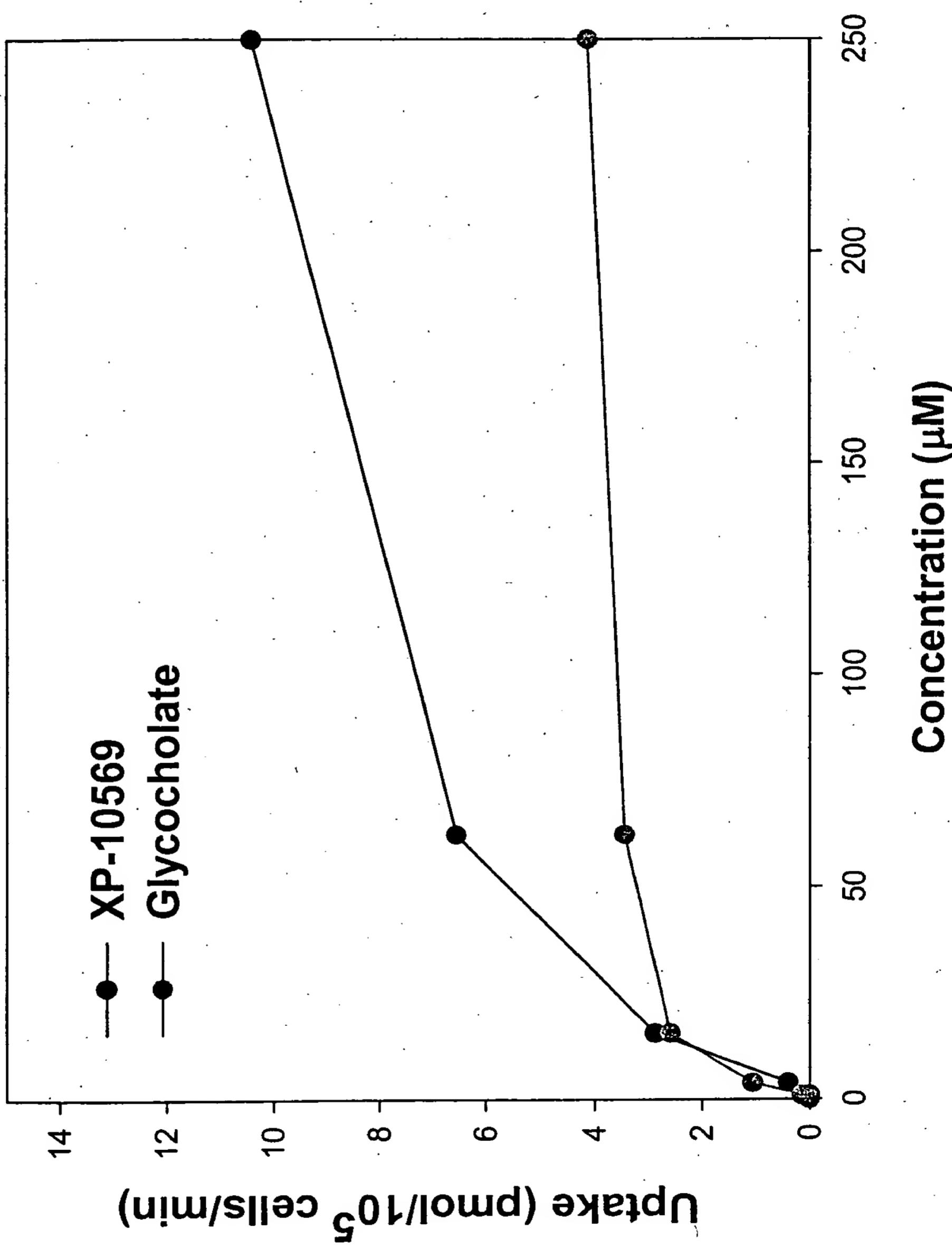


Figure 10: Uptake of (8) (XP10569) or Glycocholate by LBAT-Transfected CHO Cells

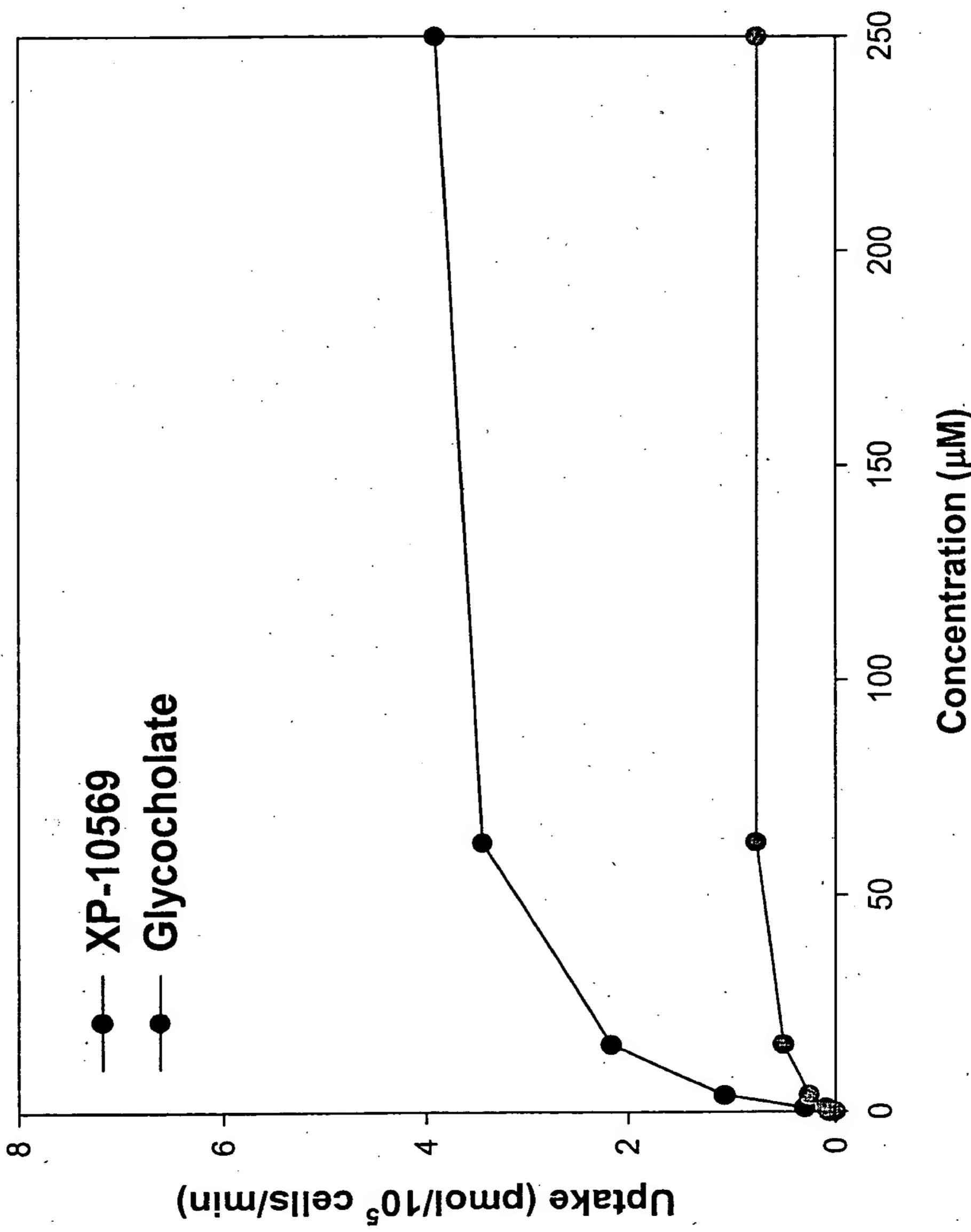


Figure 11

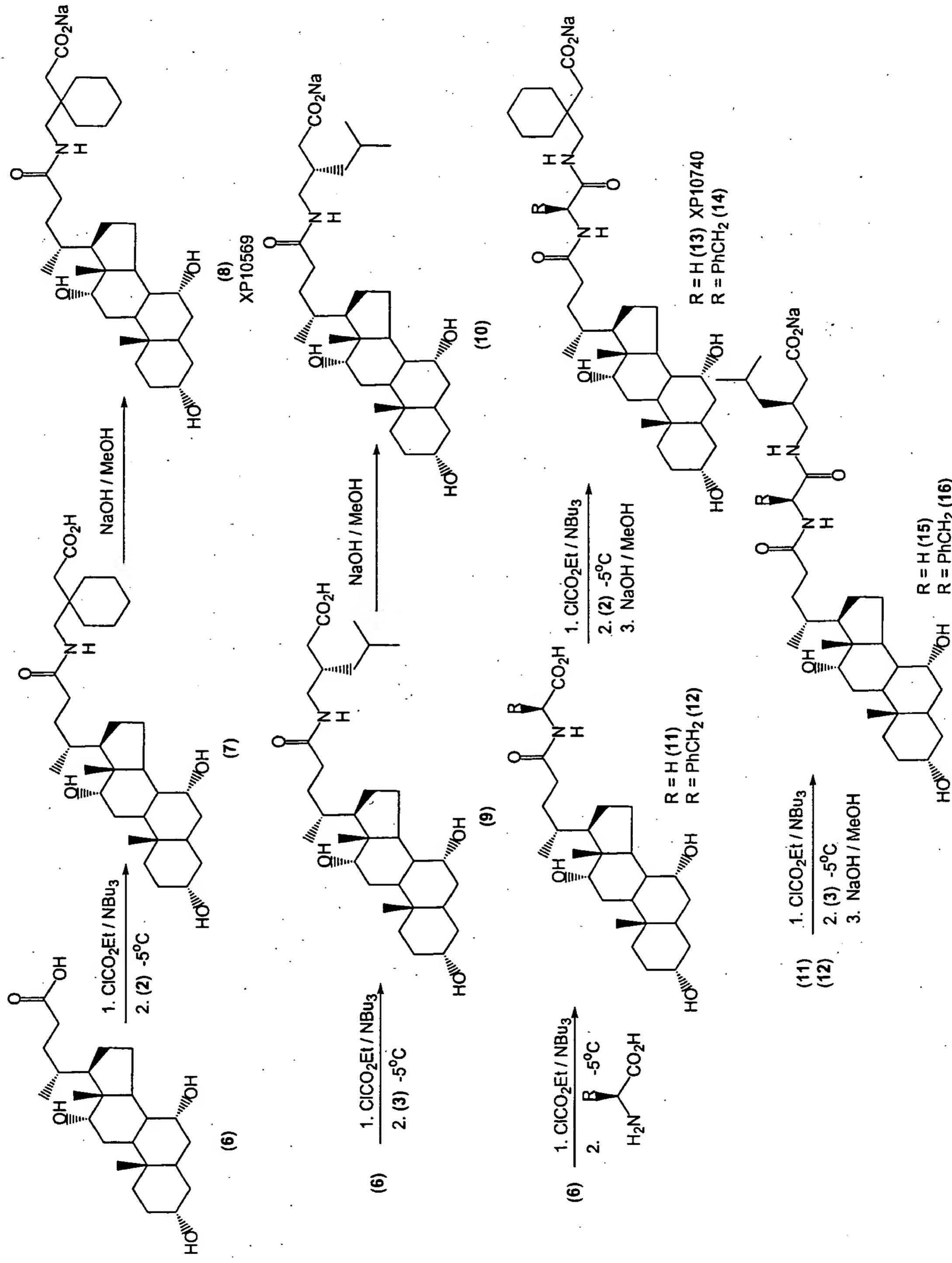


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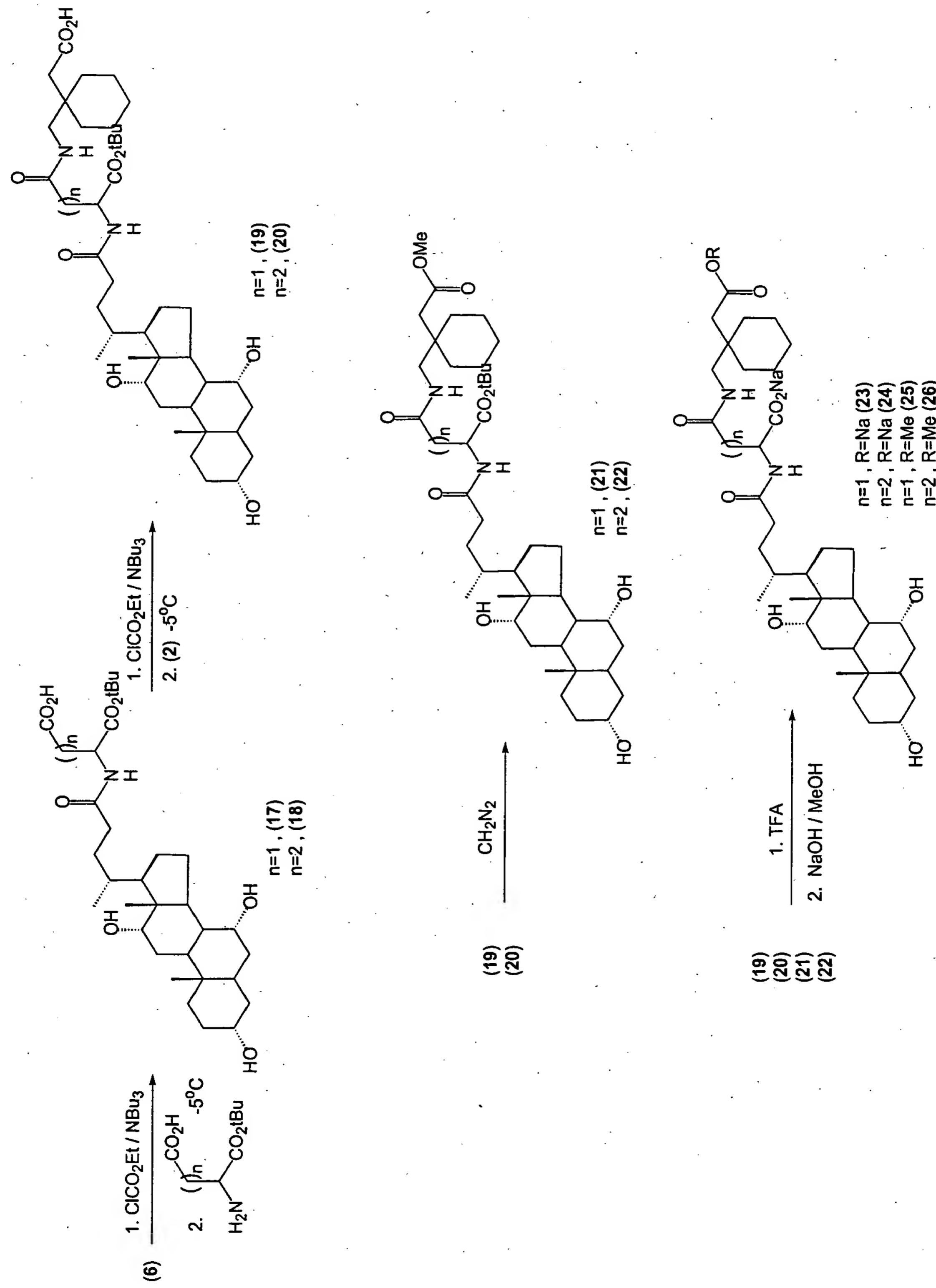


Figure 13

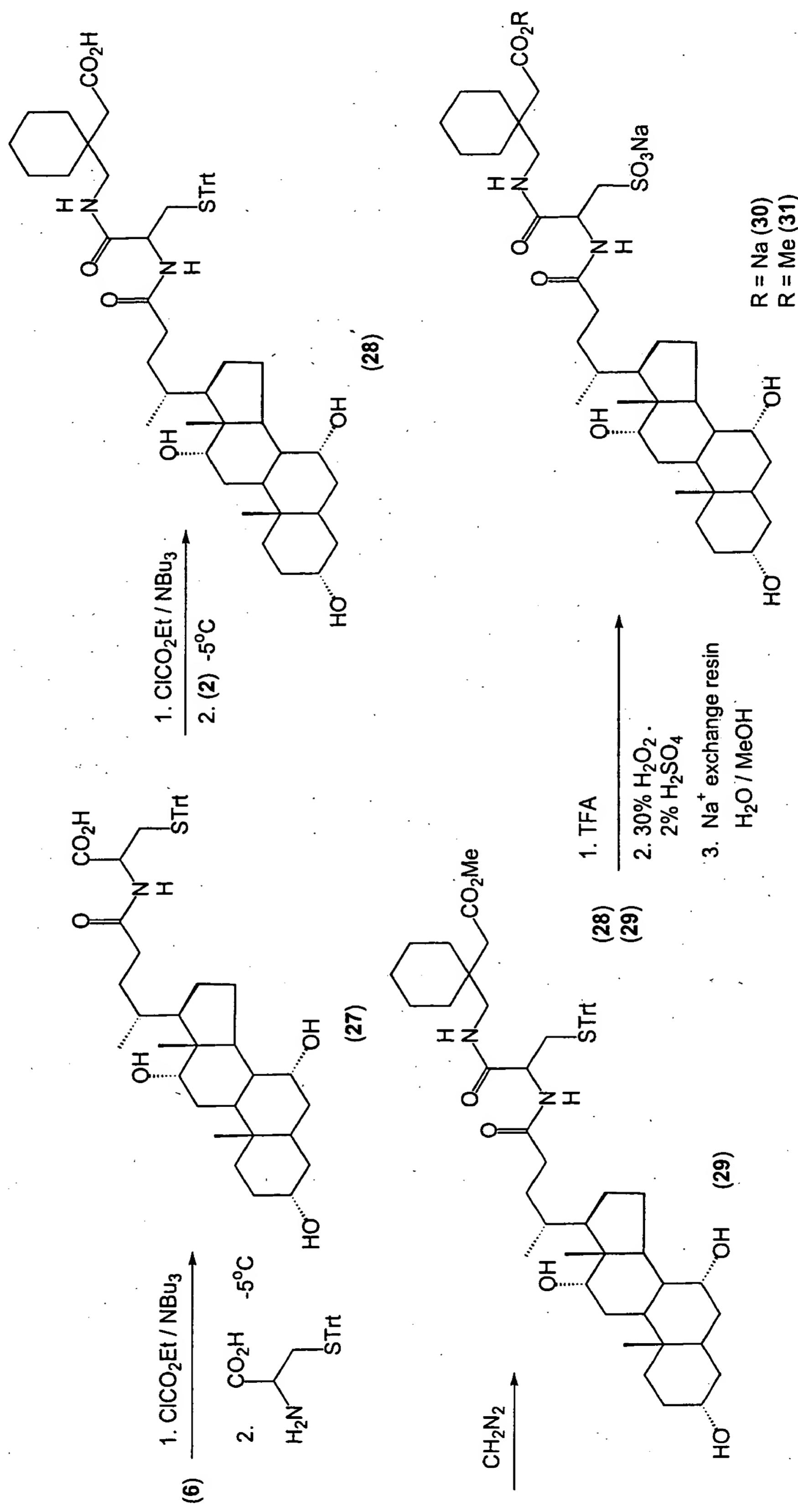


Figure 14

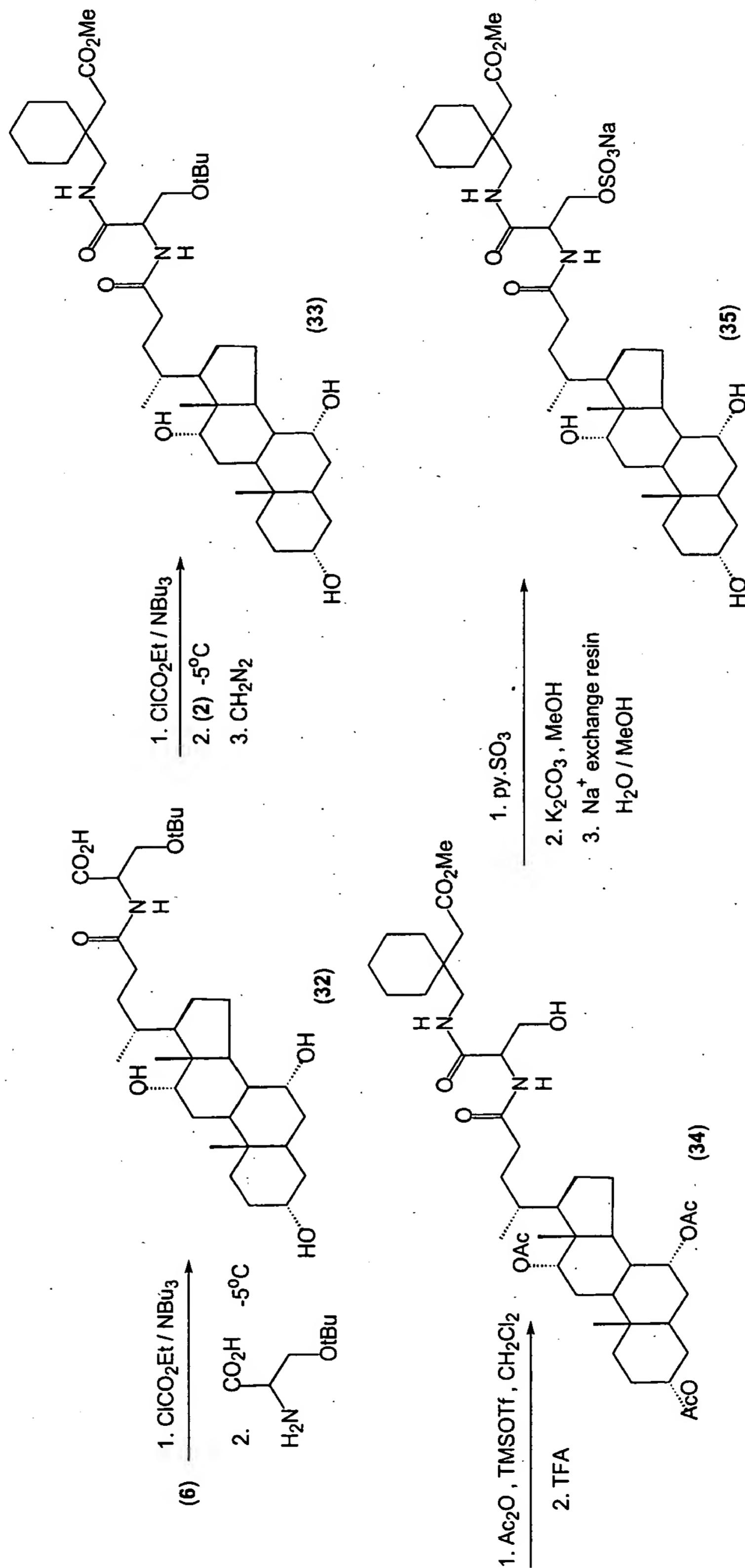


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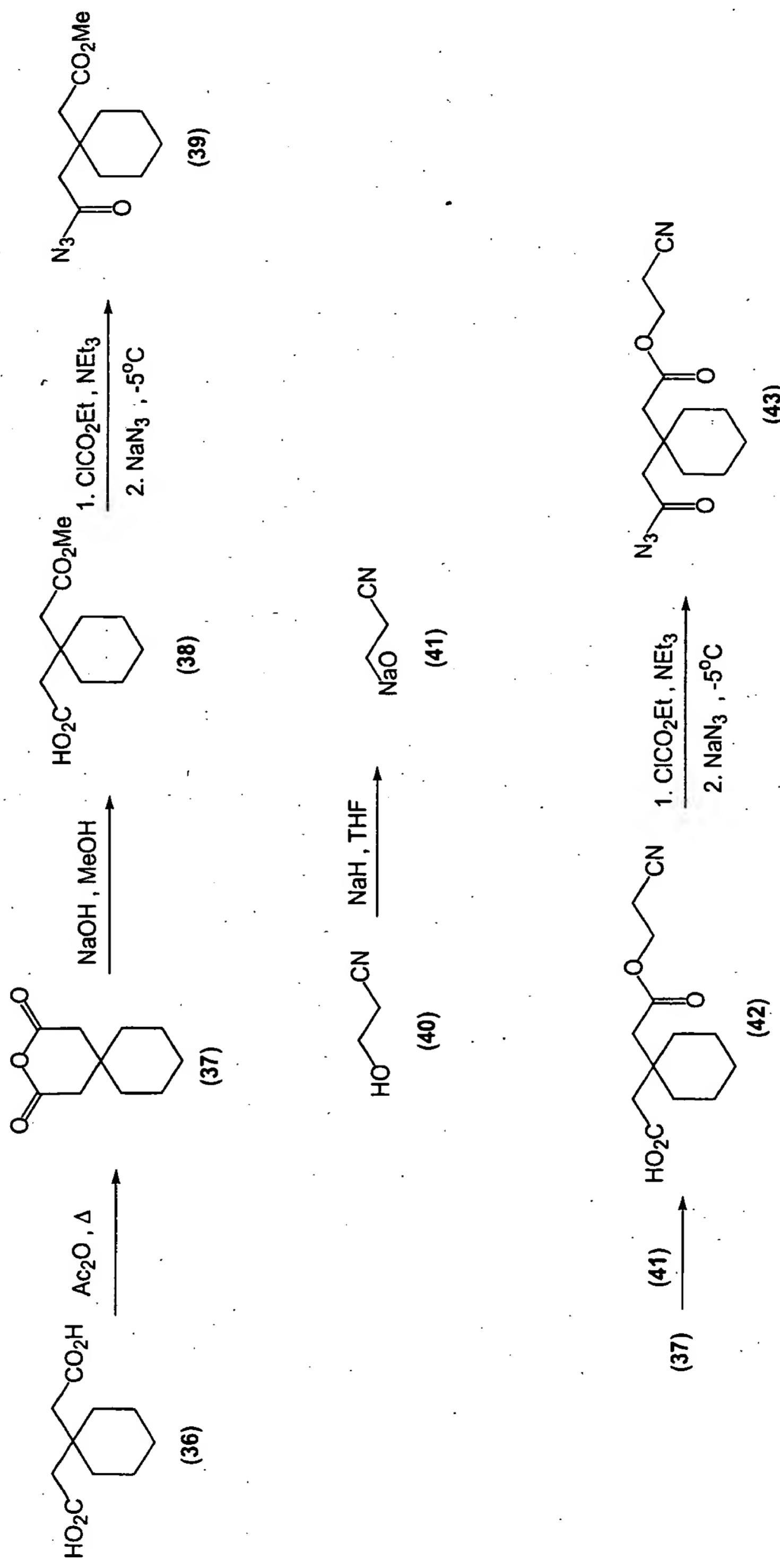


Figure 16

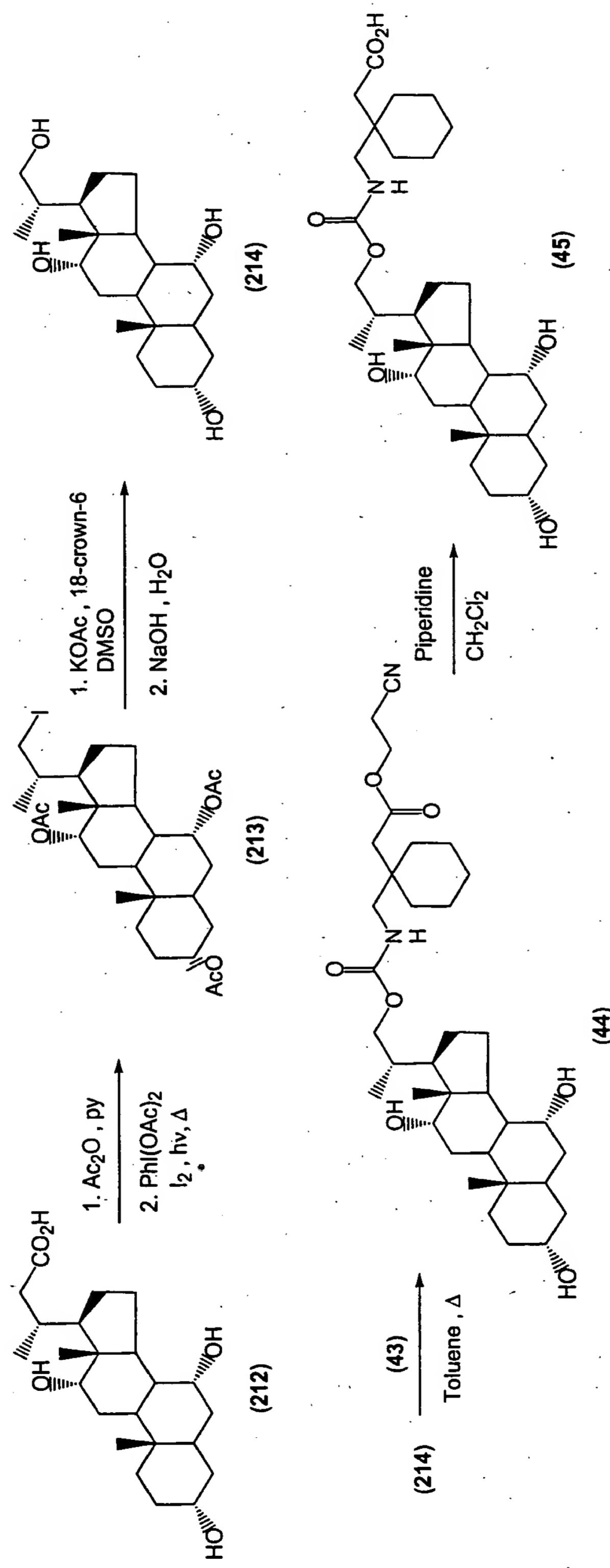


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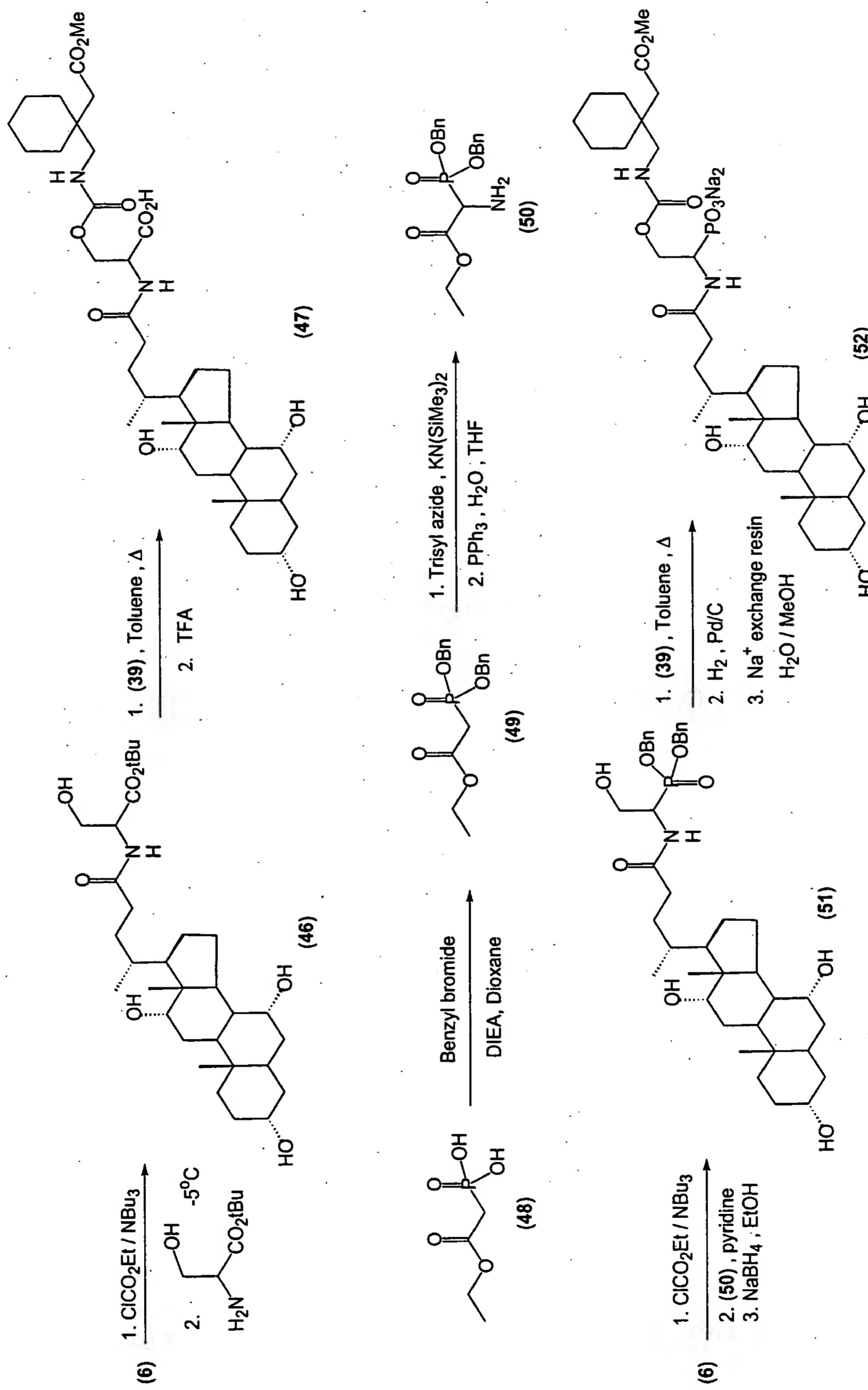


Figure 18

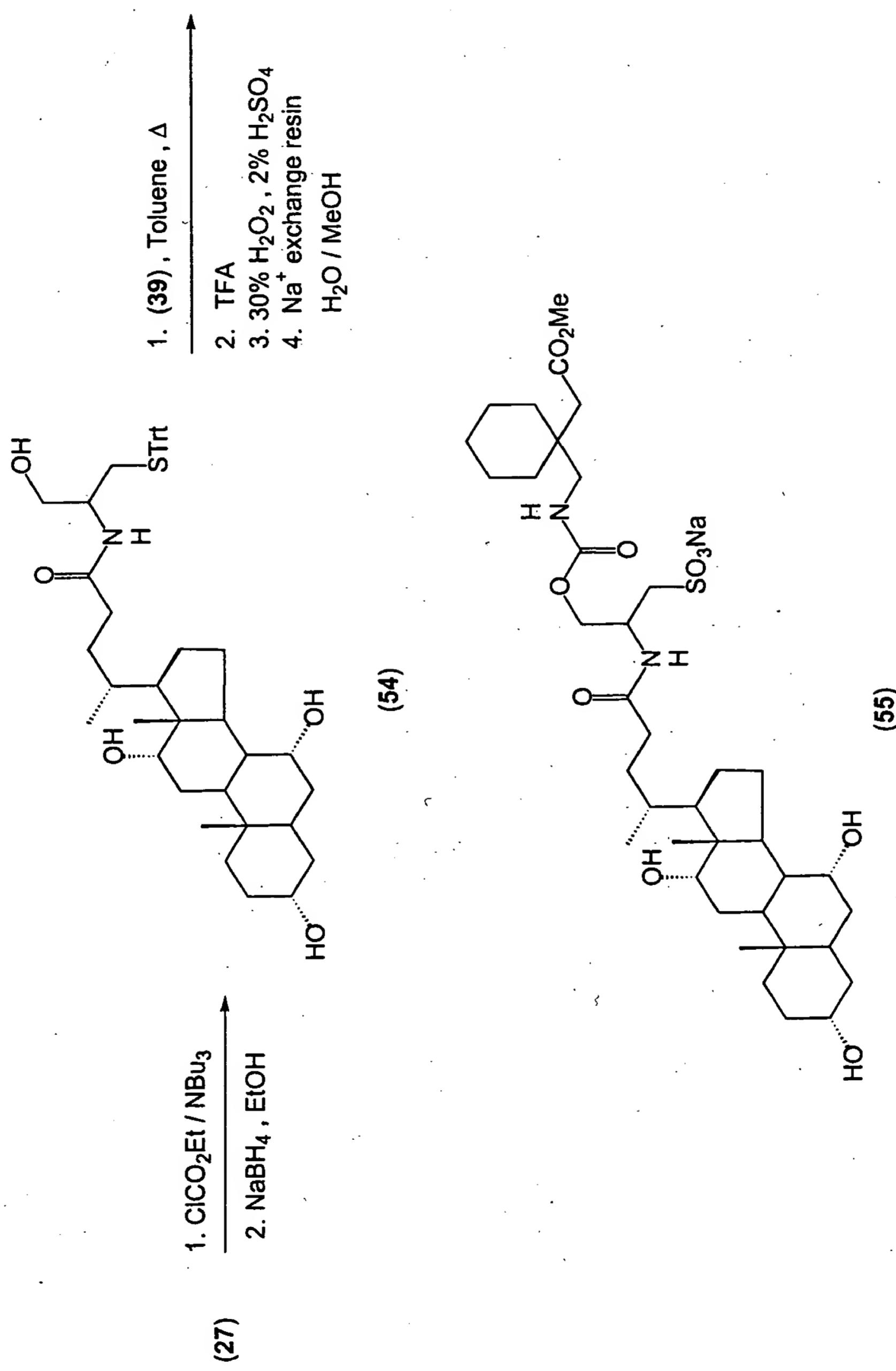


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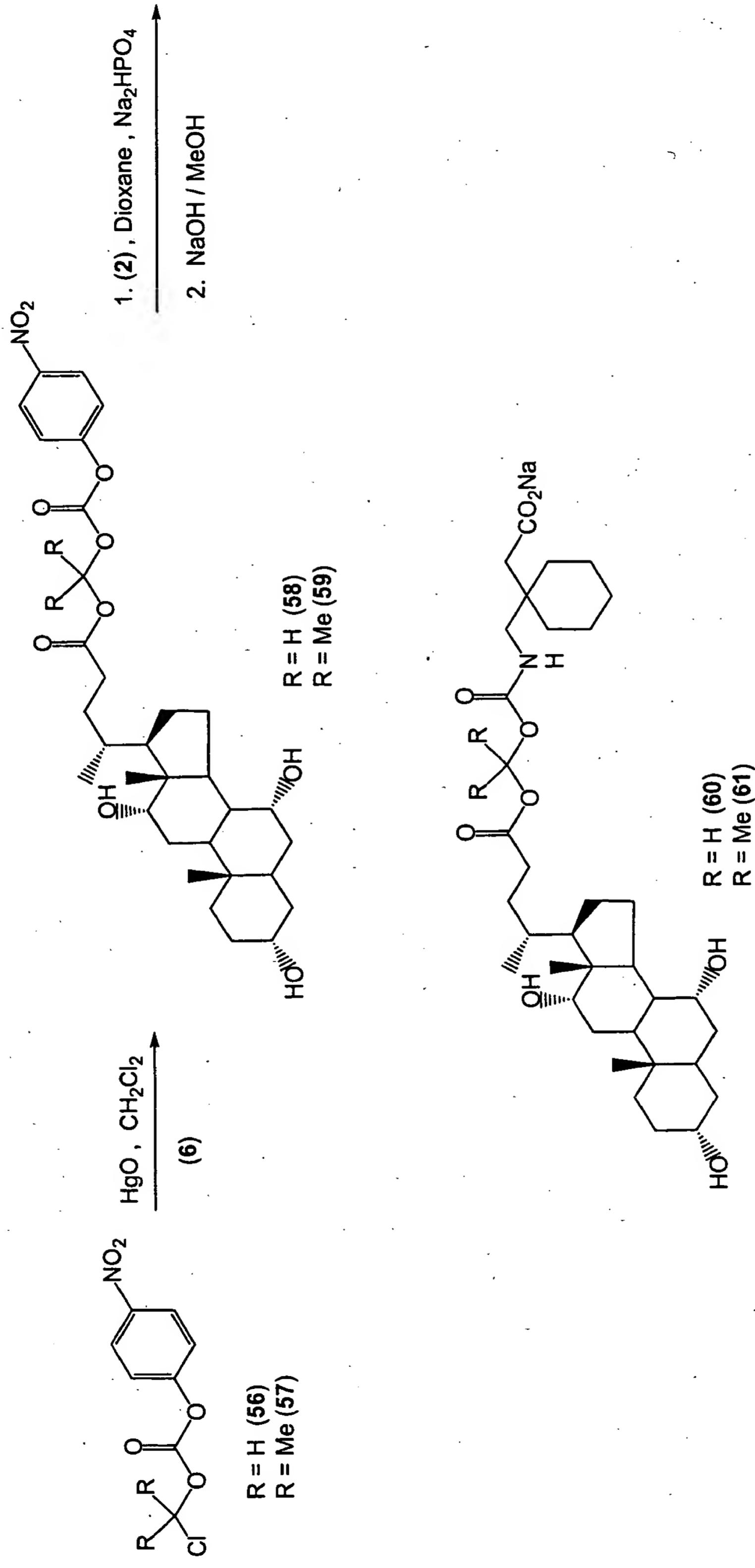


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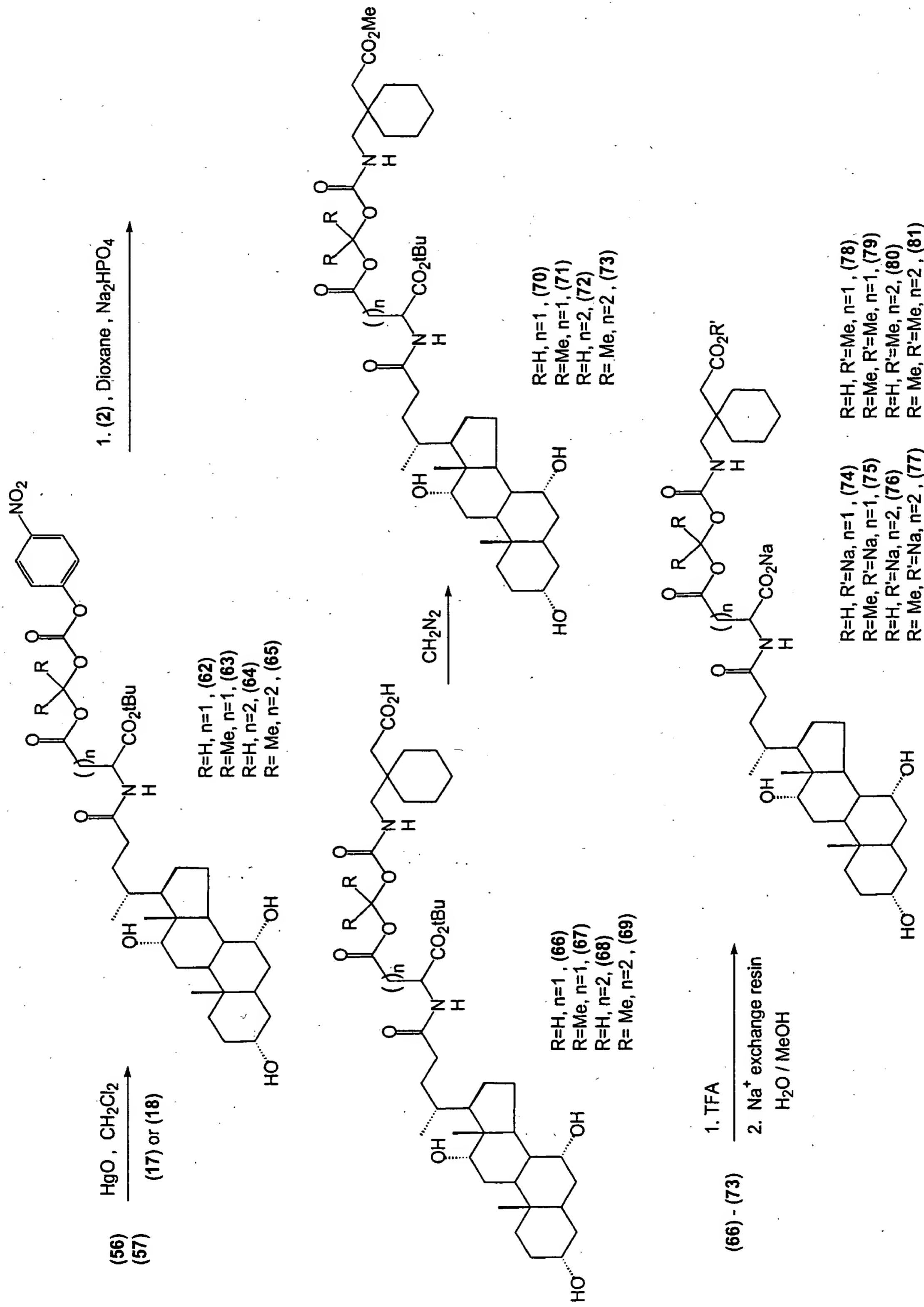


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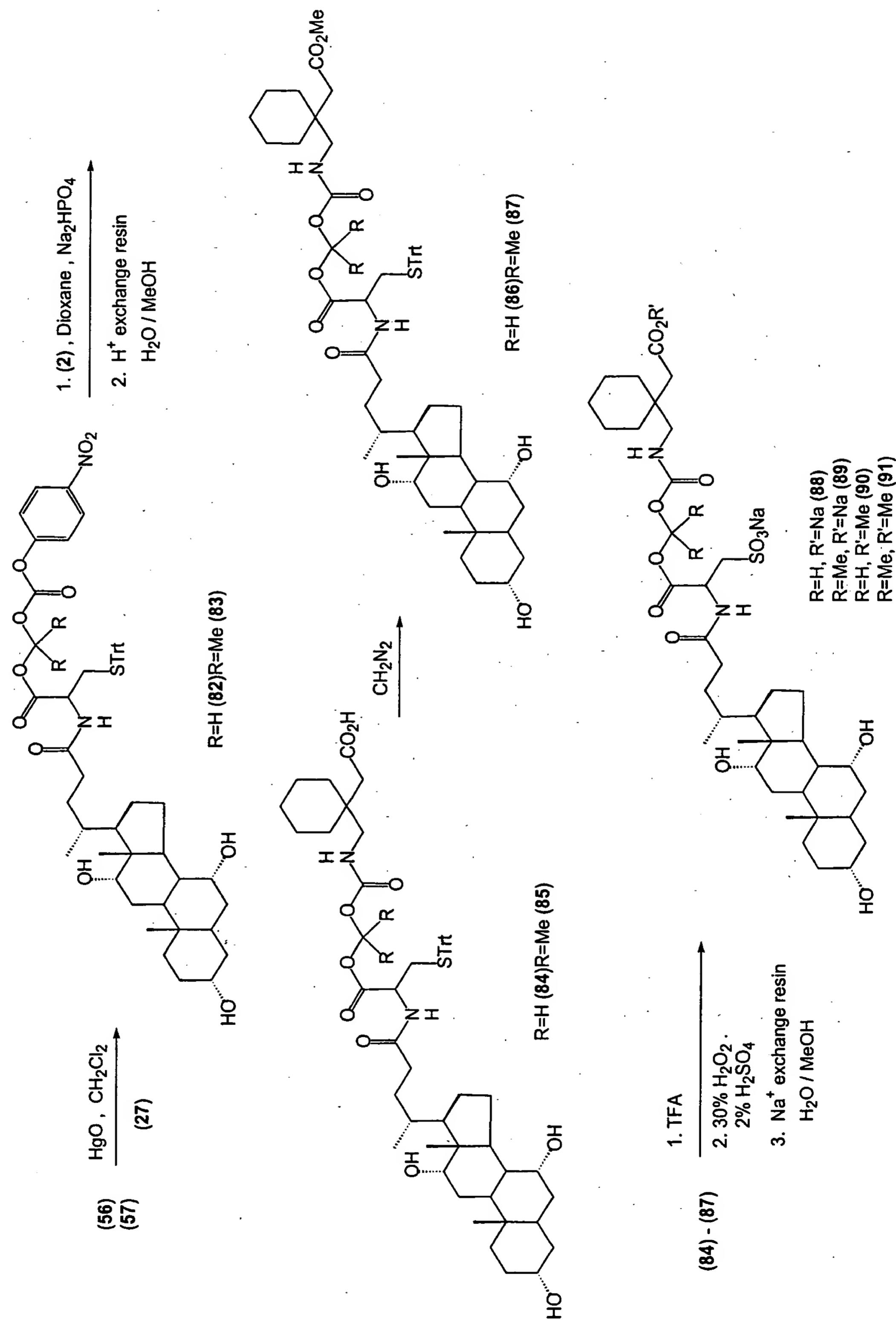
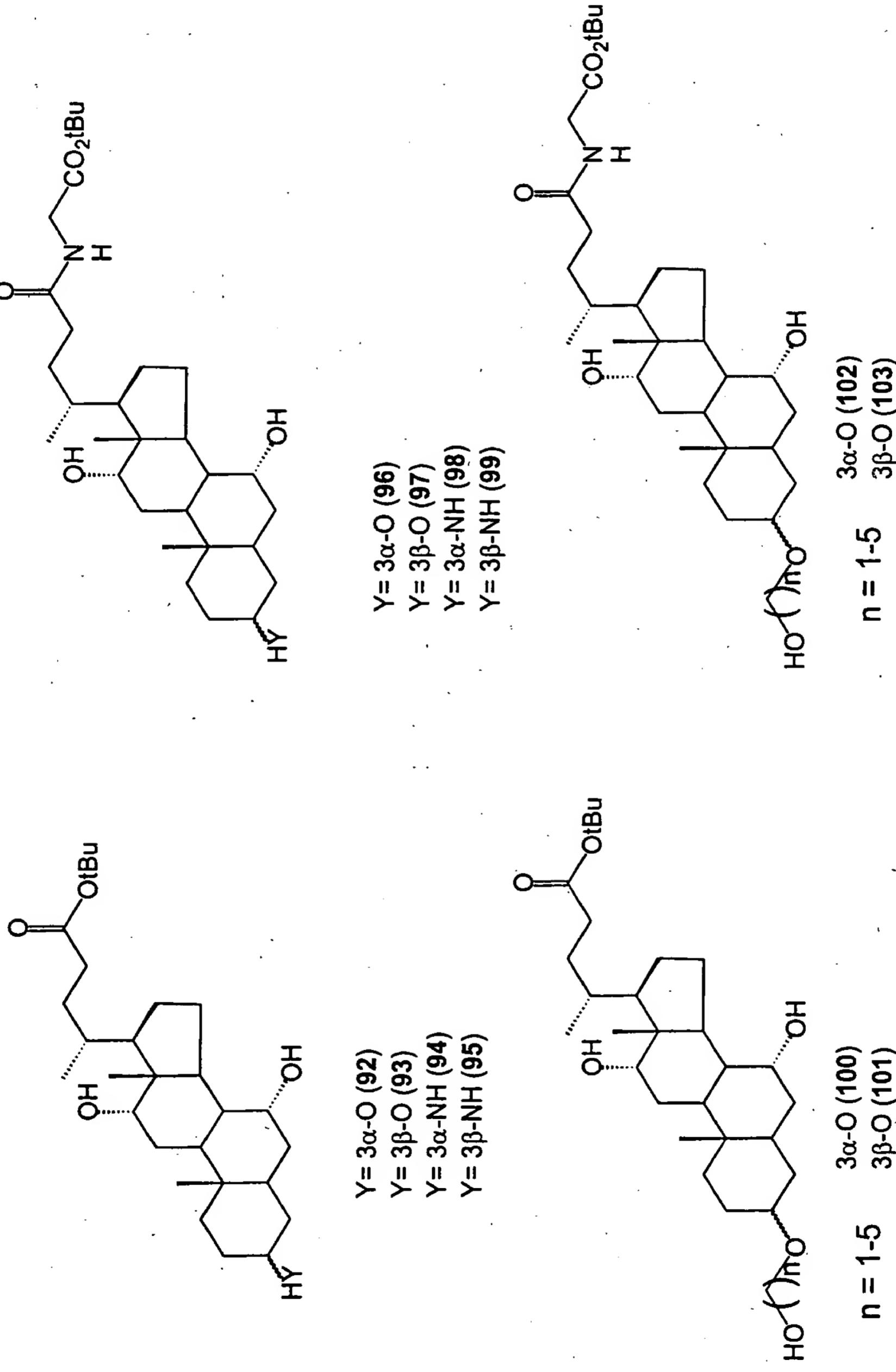


Figure 22



Compounds (92) - (103) prepared following methods described in co-pending application "Bile Acid-Derived Compounds for Enhancing Oral Absorption and Systemic Bioavailability of Drugs" assigned to XenoPort, Inc.

Figure 23

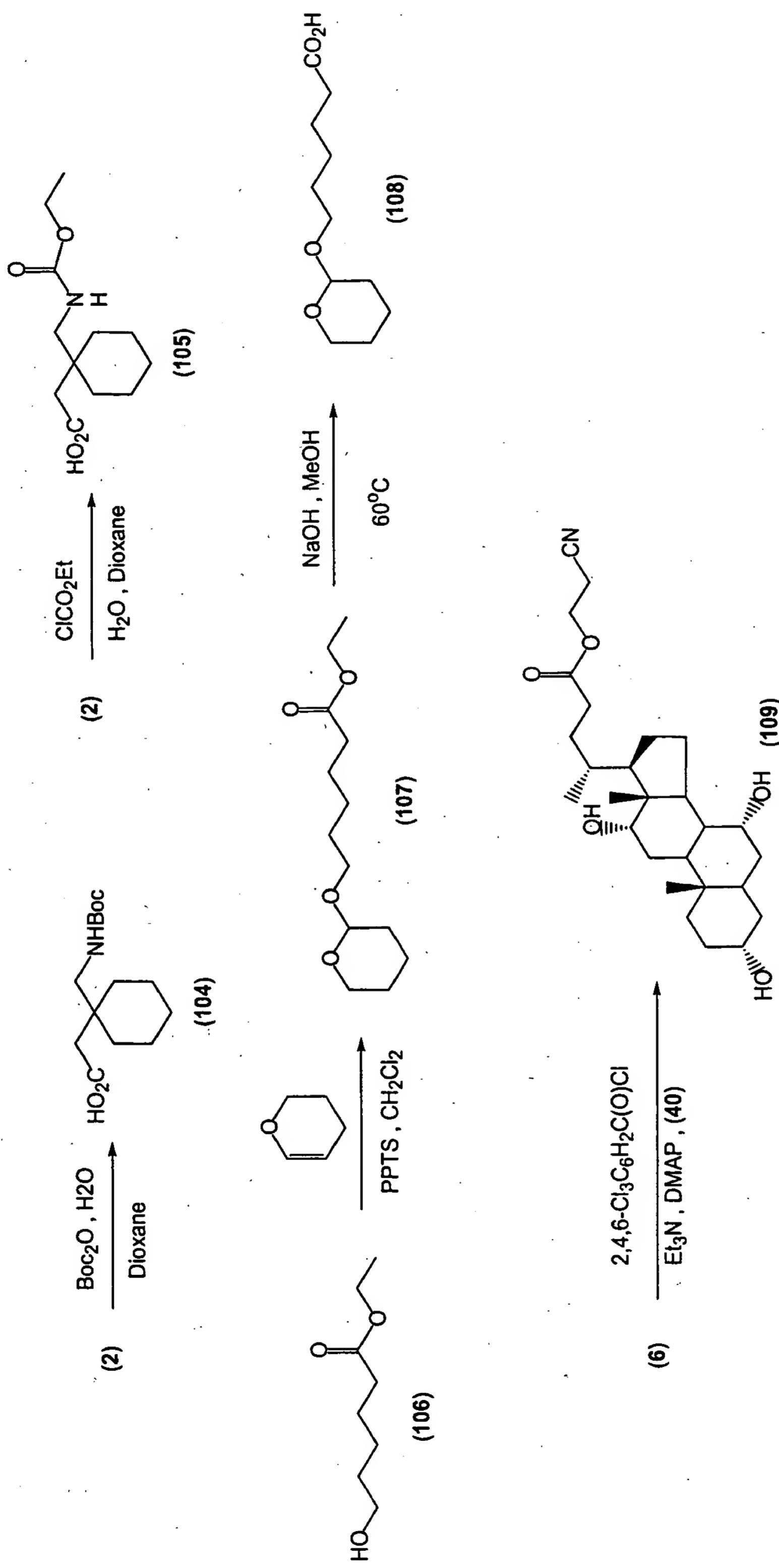


Figure 24

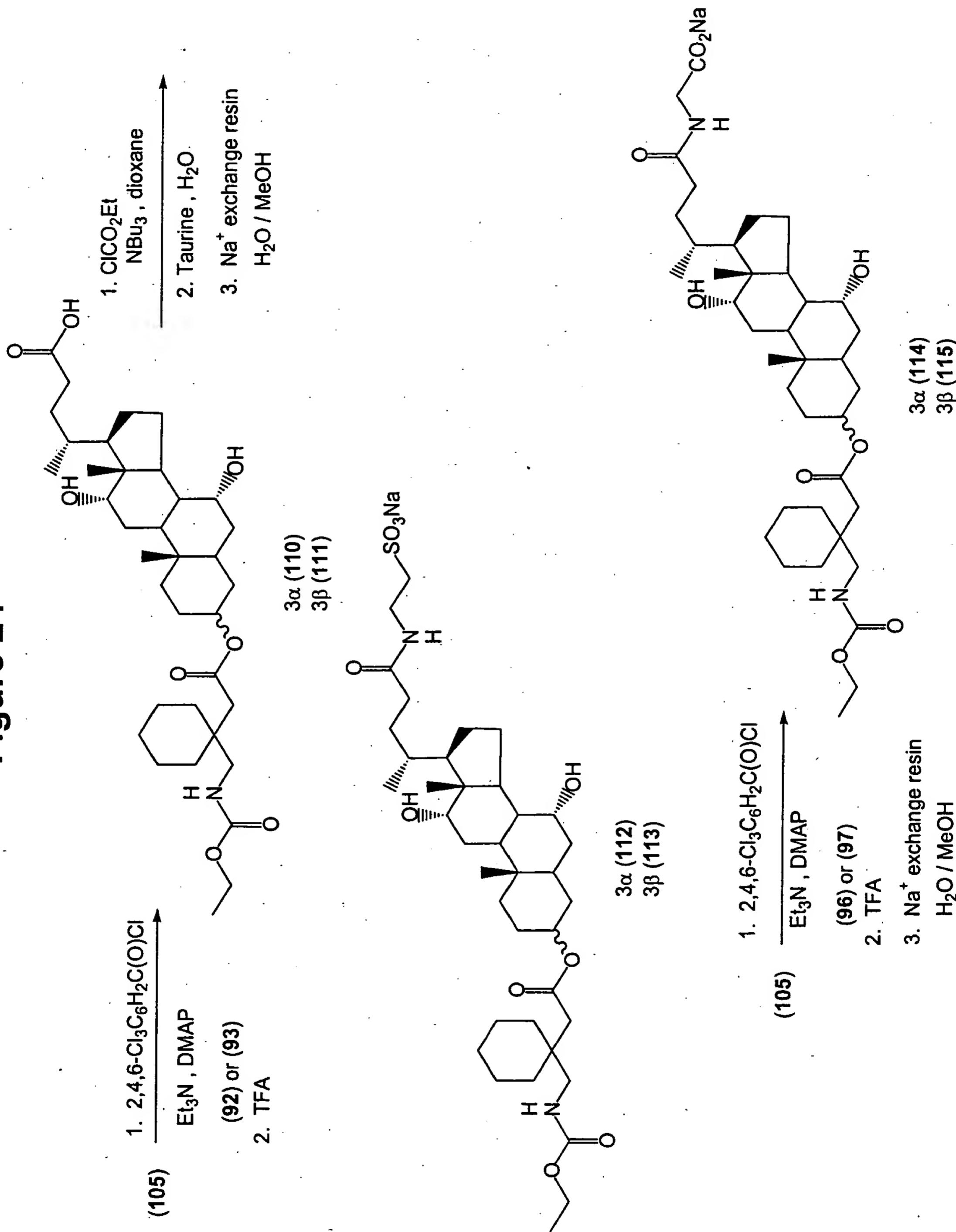


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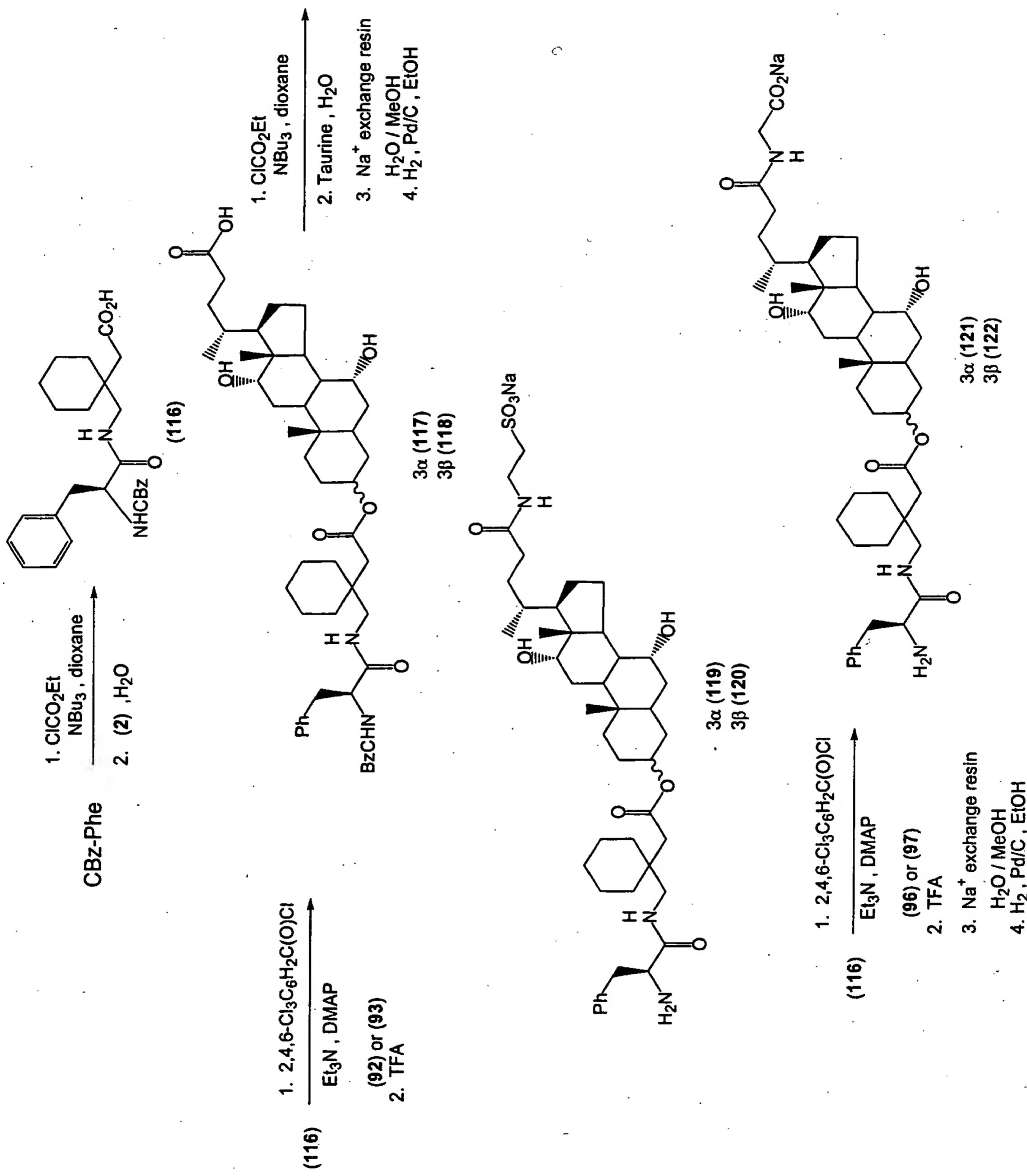


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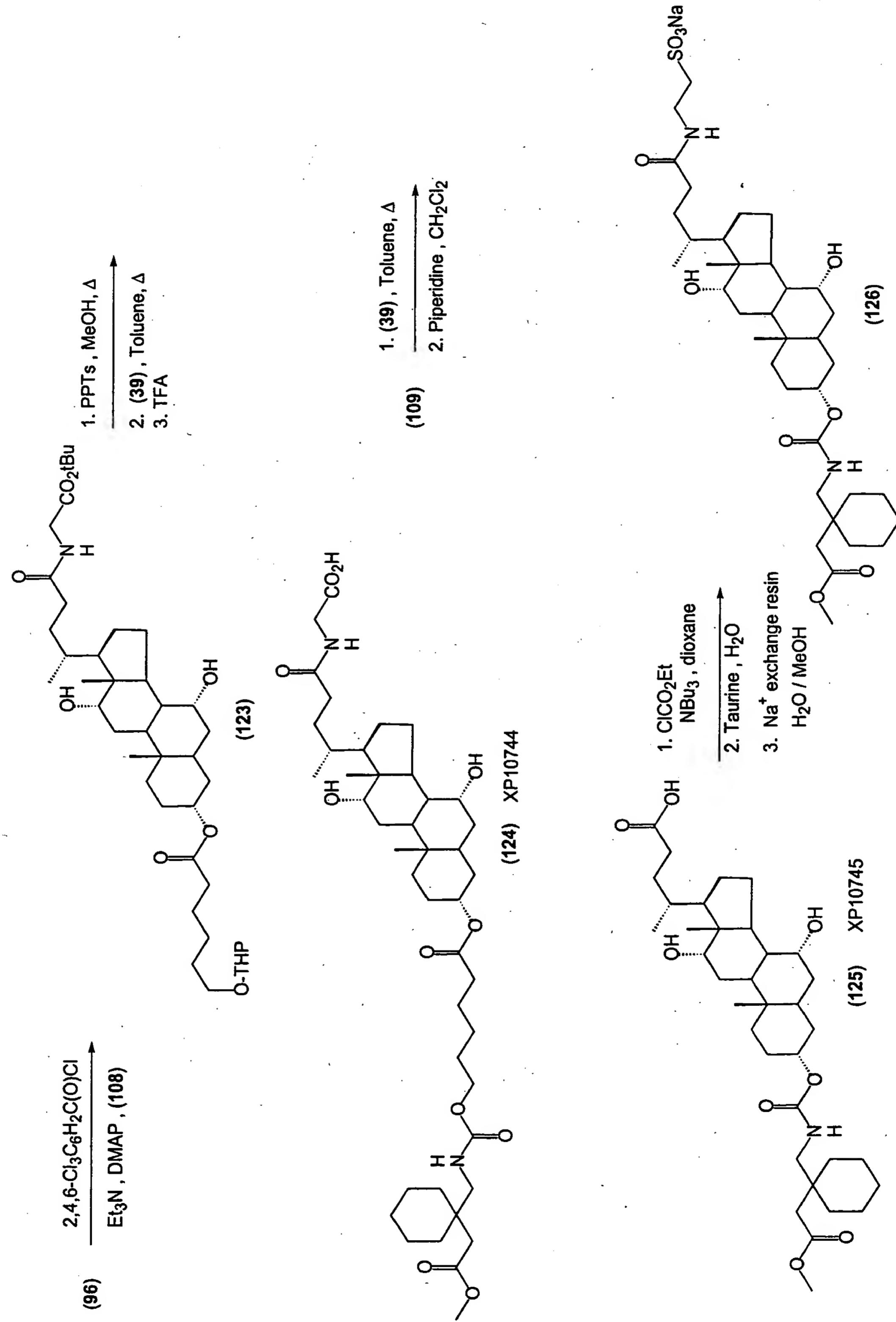


Figure 27

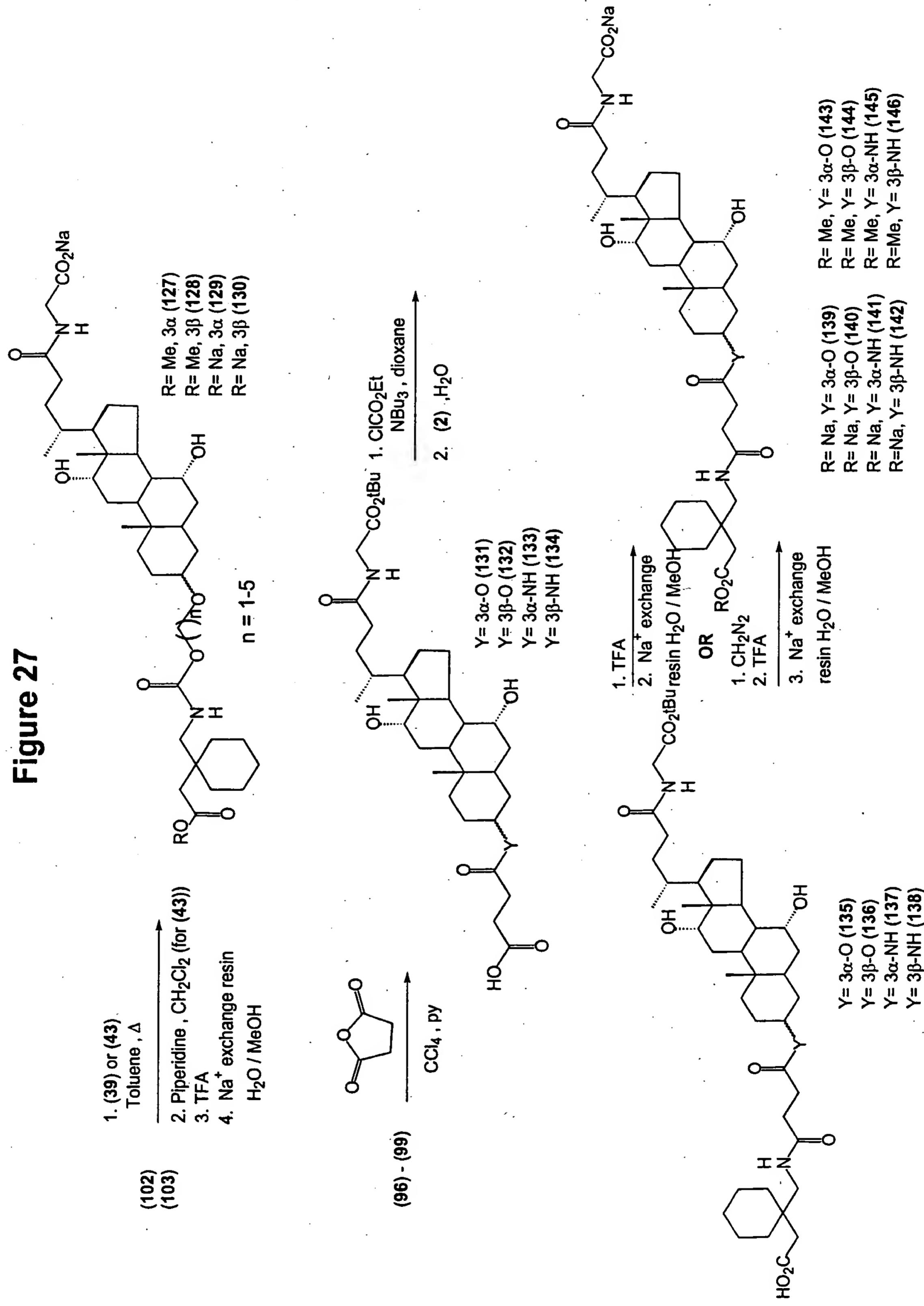


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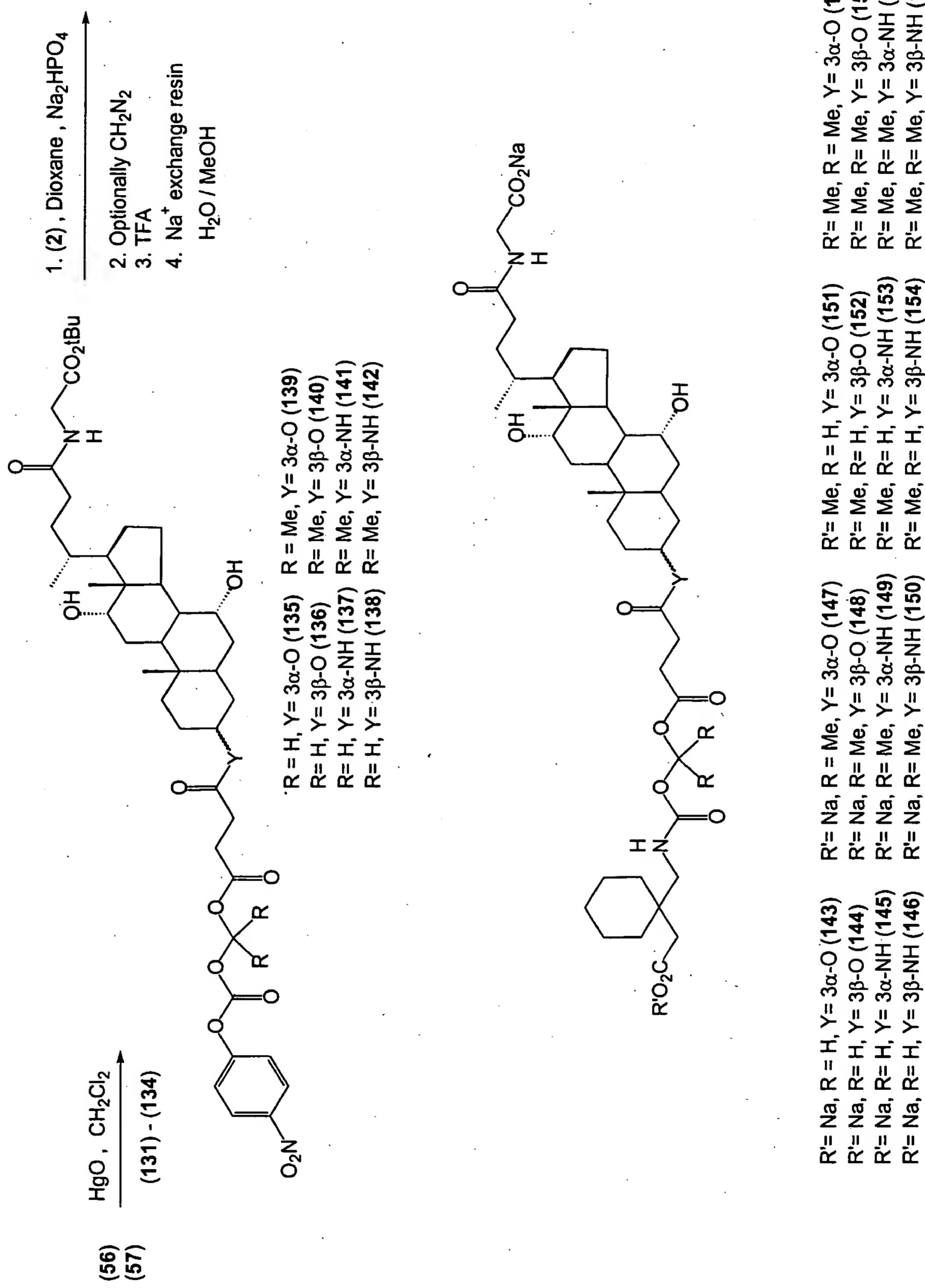


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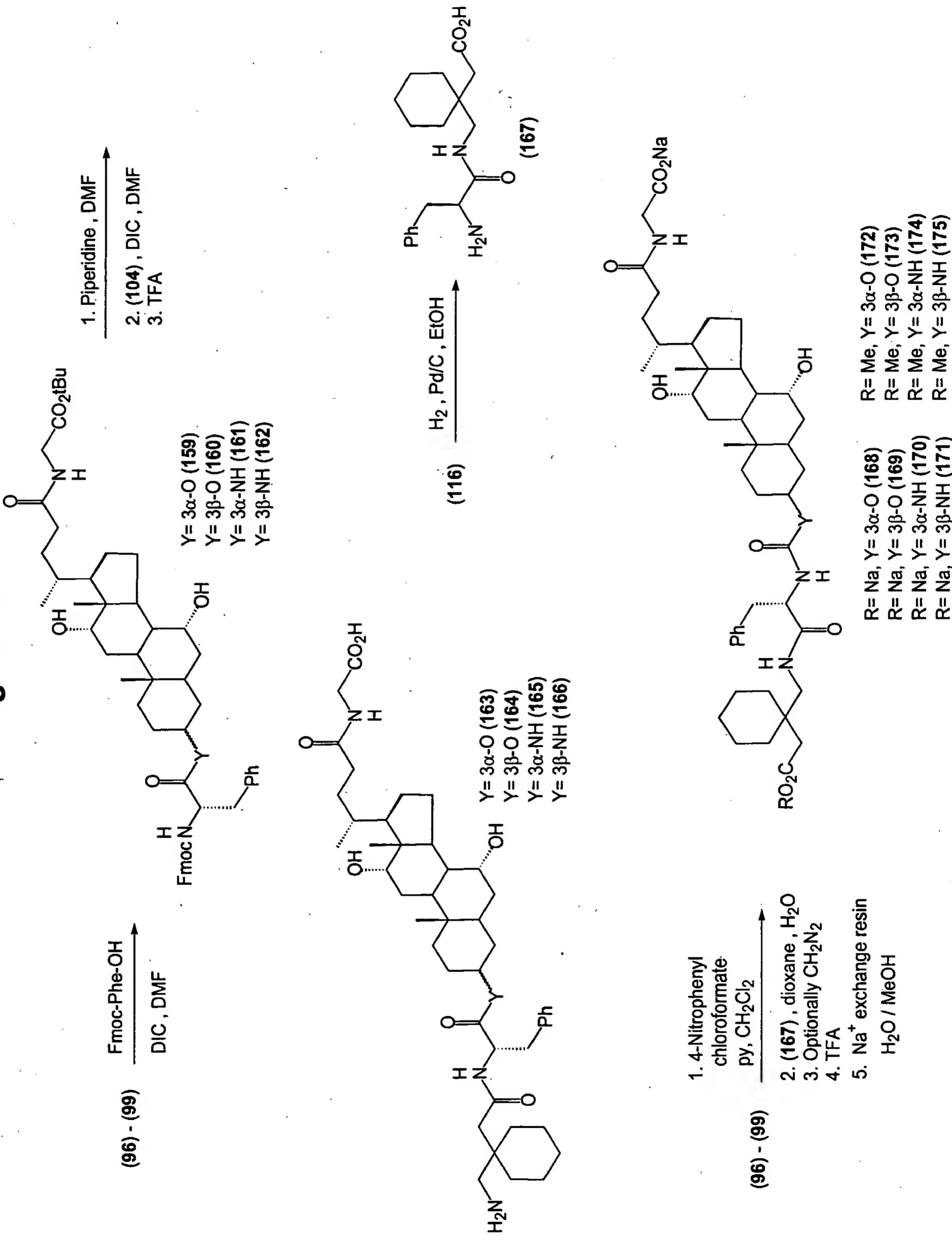


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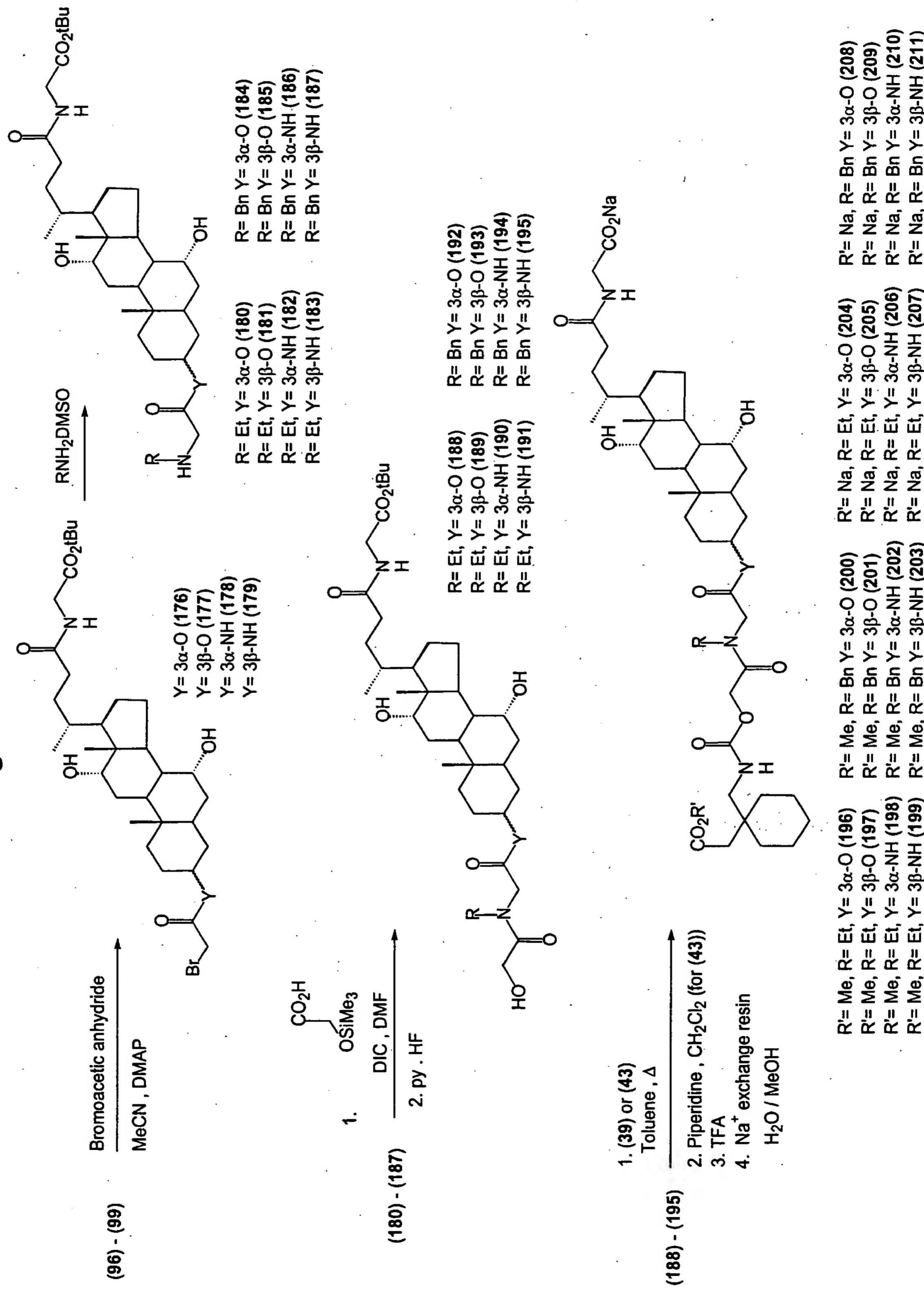


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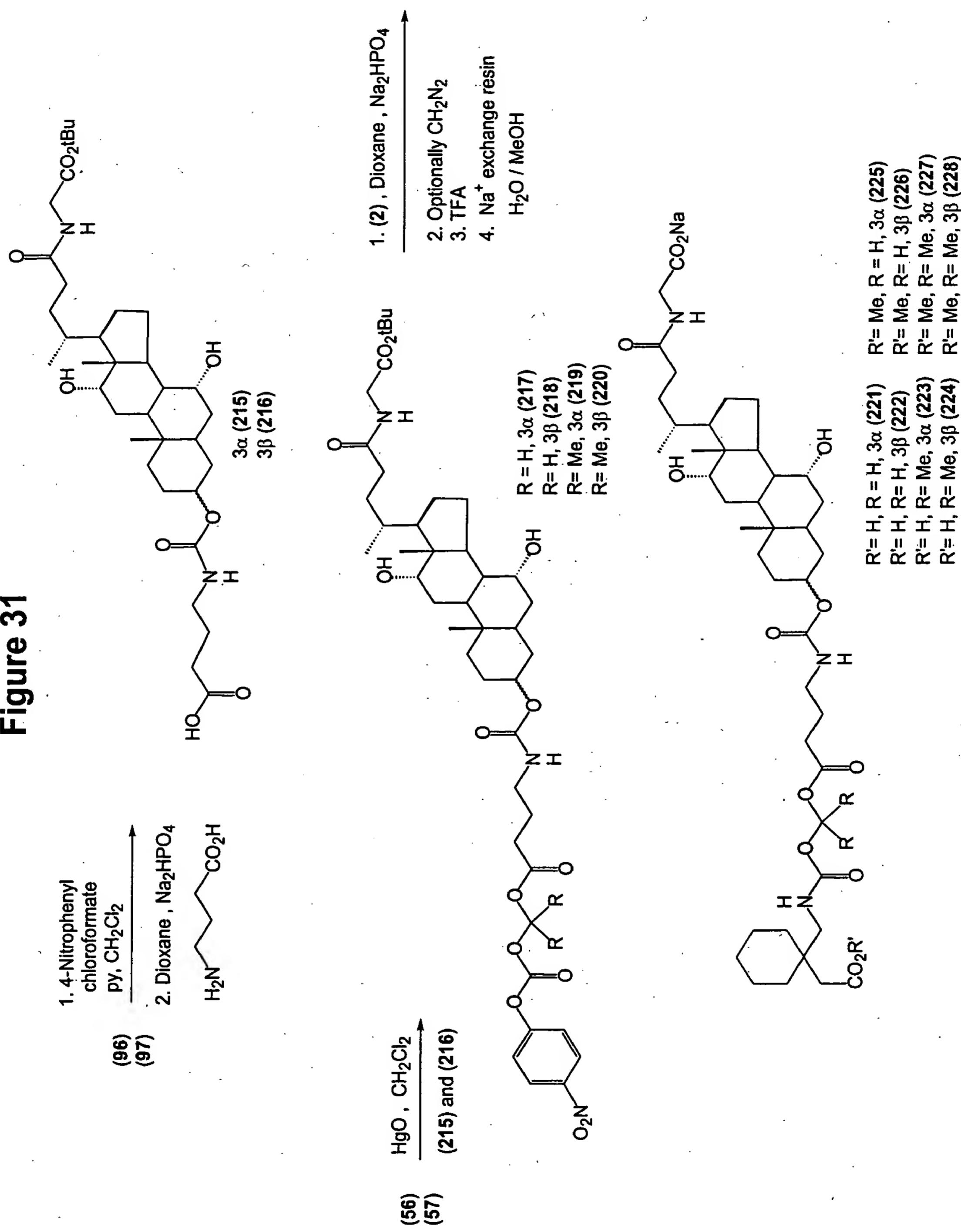


Figure 32 T in $\text{C}_2\text{H}_5\text{OH}$ at 16.6 °C

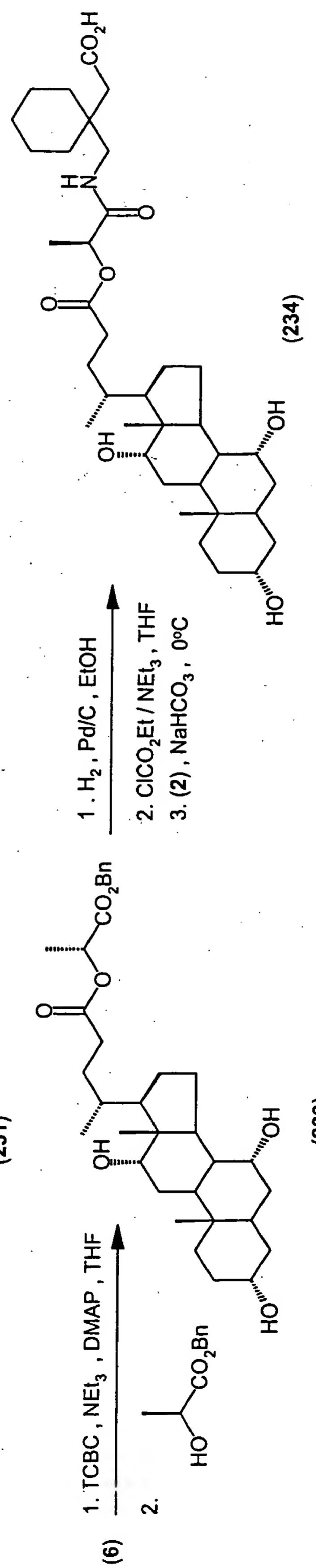
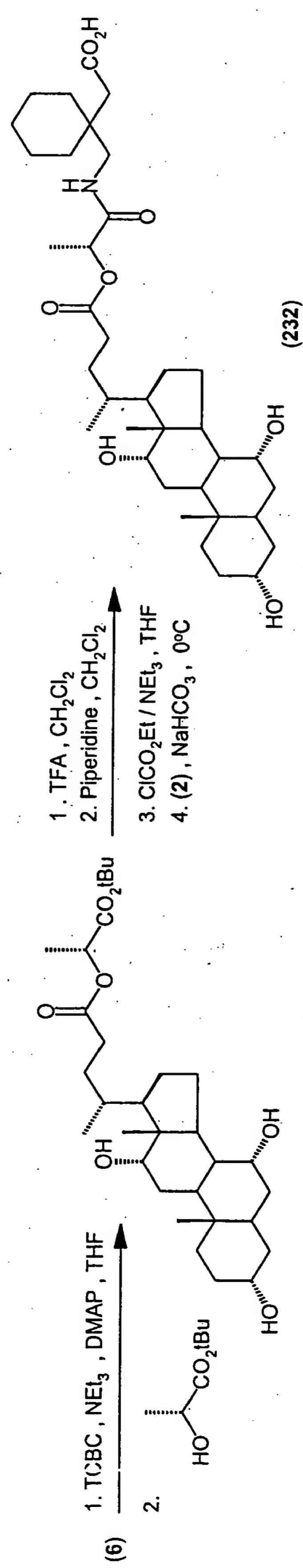
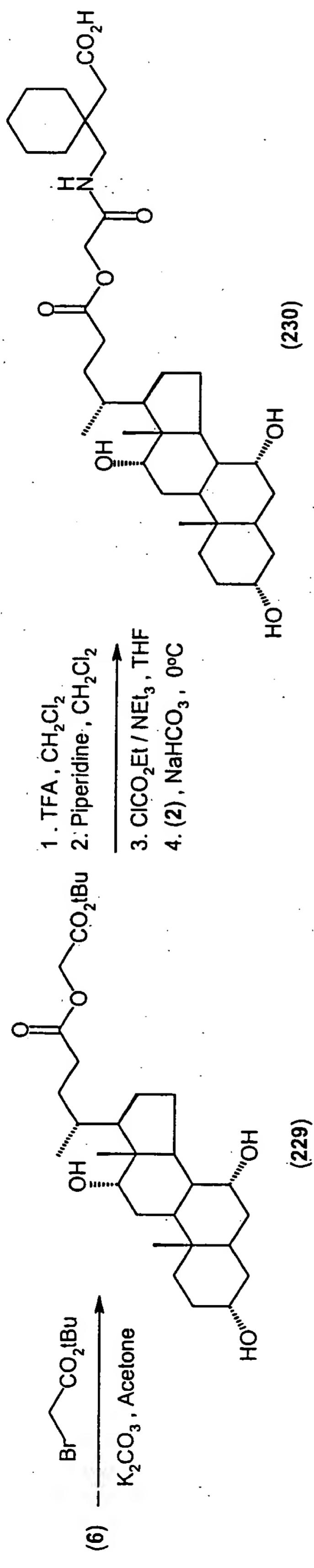


Figure 33

